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Shiau 5/2/03

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 NEWS 3 Jun 03 New e-mail delivery for search results now available  
 NEWS 4 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN  
 NEWS 5 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)  
     now available on STN  
 NEWS 6 Aug 26 Sequence searching in REGISTRY enhanced  
 NEWS 7 Sep 03 JAPIO has been reloaded and enhanced  
 NEWS 8 Sep 16 Experimental properties added to the REGISTRY file  
 NEWS 9 Sep 16 CA Section Thesaurus available in CAPLUS and CA  
 NEWS 10 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985  
 NEWS 11 Oct 24 BEILSTEIN adds new search fields  
 NEWS 12 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN  
 NEWS 13 Nov 18 DKILIT has been renamed APOLLIT  
 NEWS 14 Nov 25 More calculated properties added to REGISTRY  
 NEWS 15 Dec 04 CSA files on STN  
 NEWS 16 Dec 17 PCTFULL now covers WP/PCT Applications from 1978 to date  
 NEWS 17 Dec 17 TOXCENTER enhanced with additional content  
 NEWS 18 Dec 17 Adis Clinical Trials Insight now available on STN  
 NEWS 19 Jan 29 Simultaneous left and right truncation added to COMPENDEX,  
     ENERGY, INSPEC  
 NEWS 20 Feb 13 CANCERLIT is no longer being updated  
 NEWS 21 Feb 24 METADEX enhancements  
 NEWS 22 Feb 24 PCTGEN now available on STN  
 NEWS 23 Feb 24 TEMA now available on STN  
 NEWS 24 Feb 26 NTIS now allows simultaneous left and right truncation  
 NEWS 25 Feb 26 PCTFULL now contains images  
 NEWS 26 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results  
 NEWS 27 Mar 19 APOLLIT offering free connect time in April 2003  
 NEWS 28 Mar 20 EVENTLINE will be removed from STN  
 NEWS 29 Mar 24 PATDPAFULL now available on STN  
 NEWS 30 Mar 24 Additional information for trade-named substances without  
     structures available in REGISTRY  
 NEWS 31 Apr 11 Display formats in DGENE enhanced  
 NEWS 32 Apr 14 MEDLINE Reload  
 NEWS 33 Apr 17 Polymer searching in REGISTRY enhanced  
 NEWS 34 Apr 21 Indexing from 1947 to 1956 being added to records in CA/CAPLUS  
 NEWS 35 Apr 21 New current-awareness alert (SDI) frequency in  
     WPIDS/WPINDEX/WPIX  
 NEWS 36 Apr 28 RDISCLOSURE now available on STN  
 NEWS 37 May 05 Pharmacokinetic information and systematic chemical names  
     added to PHAR

US6114358

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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FILE 'HOME' ENTERED AT 11:57:50 ON 12 MAY 2003

=> file registry		SINCE FILE	TOTAL
COST IN U.S. DOLLARS		ENTRY	SESSION
FULL ESTIMATED COST		0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:58:02 ON 12 MAY 2003  
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STRUCTURE FILE UPDATES: 11 MAY 2003 HIGHEST RN 514167-89-6  
DICTIONARY FILE UPDATES: 11 MAY 2003 HIGHEST RN 514167-89-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

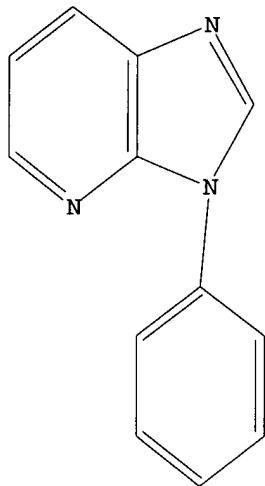
Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

```
=> Uploading stru1.str
```

L1 STRUCTURE UPLOADED

=> D  
L1 HAS NO ANSWERS  
L1 STR

US6114358



Structure attributes must be viewed using STN Express query preparation.

=> file CAPLUS			
COST IN U.S. DOLLARS	SINCE FILE		TOTAL
	ENTRY	SESSION	
FULL ESTIMATED COST	0.40	0.61	

FILE 'CAPLUS' ENTERED AT 11:58:26 ON 12 MAY 2003  
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FILE COVERS 1907 - 12 May 2003 VOL 138 ISS 20  
FILE LAST UPDATED: 11 May 2003 (20030511/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L1  
**REGISTRY INITIATED**  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 11:58:30 FILE 'REGISTRY'

US6114358

SAMPLE SCREEN SEARCH COMPLETED - 297 TO ITERATE

100.0% PROCESSED 297 ITERATIONS  
SEARCH TIME: 00.00.01

34 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 4907 TO 6973  
PROJECTED ANSWERS: 331 TO 1029

L2 34 SEA SSS SAM L1

L3 30 L2

=> D ibib abs hitstr L3 1-30

L3 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2002:977466 CAPLUS  
DOCUMENT NUMBER: 138:204987  
TITLE: Efficient Synthesis of 3H-Imidazo[4,5-b]pyridines from  
Malononitrile and 5-Amino-4-  
(cyanoformimidoyl)imidazoles  
AUTHOR(S): Zaki, Magdi E. A.; Proenca, M. Fernanda; Booth, Brian  
L.  
CORPORATE SOURCE: Departamento de Quimica, Universidade do Minho, Braga,  
4710, Port.  
SOURCE: Journal of Organic Chemistry (2003), 68(2), 276-282  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB 1-Aryl-5-amino-4-(cyanoformimidoyl)imidazoles 2 were reacted with  
malononitrile under mild exptl. conditions and led to 3-aryl-5,7-diamino-6-  
cyano-3H-imidazo[4,5-b]pyridines I (R = 4-MeC<sub>6</sub>H<sub>4</sub>, 4-FC<sub>6</sub>H<sub>4</sub>, 4-MeC<sub>6</sub>H<sub>4</sub>,  
4-CNC<sub>6</sub>H<sub>4</sub>), when the reaction was carried out in the presence of DBU, or to  
3-aryl-5-amino-6,7-dicyano-3H-imidazo[4,5-b]pyridines II, in its absence.  
Both reactions evolved from the adduct formed by nucleophilic attack of  
the malononitrile anion to the carbon of the cyanoformimidoyl substituent.  
A 5-amino-1-aryl-4-(1-amino-2,2-dicyanovinyl)imidazole III (R = 4-MeC<sub>6</sub>H<sub>4</sub>,  
4-FC<sub>6</sub>H<sub>4</sub>, 4-MeC<sub>6</sub>H<sub>4</sub>) was isolated when this reaction was carried out in the  
presence of DBU. The structure of III was confirmed by spectroscopic  
methods and by reaction with tri-Et orthoformate and with acetic  
anhydride, leading resp. to 9-aryl-6-(cyanomethylidene)purines. Imidazole  
IV was also reacted with Et acetoacetate, a carbon acid with a pKa  
comparable to that of malononitrile, which led to 6-carbamoyl-1,2-  
dihydropurine V, showing that a different mechanism was operating in this  
case.

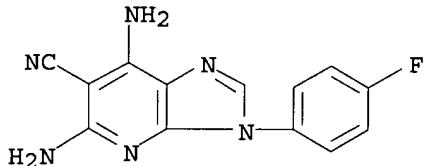
IT 499983-06-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prep. of imidazopyridines via cyclocondensation reactions of  
malononitrile with amino(cyanoformimidoyl)imidazoles generated from  
corresponding formamidines)

RN 499983-06-1 CAPLUS

US6114358

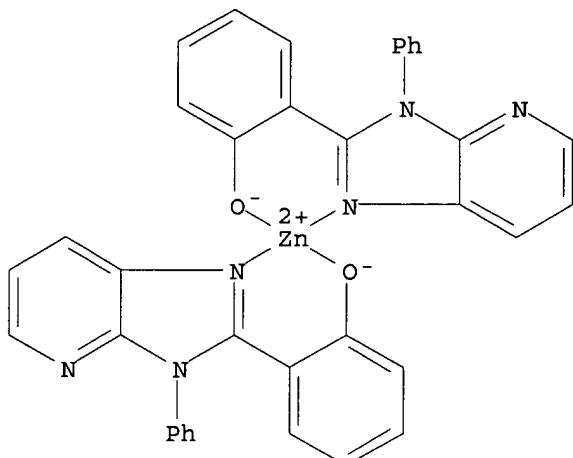
CN 3H-Imidazo[4,5-b]pyridine-6-carbonitrile, 5,7-diamino-3-(4-fluorophenyl)-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2002:901127 CAPLUS  
DOCUMENT NUMBER: 137:391157  
TITLE: Organic electroluminescent devices with good color, brightness, and durability  
INVENTOR(S): Igarashi, Tatsuya  
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002338957	A2	20021127	JP 2001-143414	20010514
PRIORITY APPLN. INFO.:			JP 2001-143414	20010514
OTHER SOURCE(S):	MARPAT	137:391157		
AB	The device, useful for displays, backlights, etc., has light-emitting layers contg. (A) Ar32Ar31Ar(Ar11Ar12)Ar21Ar22 (Ar11, Ar21, Ar31 = arylene; Ar12, Ar22, Ar32 = H, substituent; Ar11, Ar21, Ar31, Ar12, Ar22, Ar32 = condensed ring aryl, condensed ring heteroaryl; Ar = arylene, heteroarylene) and (B) metal complexes.			
IT	303049-17-4			
RL	DEV (Device component use); USES (Uses)			
	(org. EL devices with good color, brightness, and durability)			
RN	303049-17-4	CAPLUS		
CN	Zinc, bis[2-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl-.kappa.N1)phenolato-.kappa.O]-, (T-4)- (9CI) (CA INDEX NAME)			



L3 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:361401 CAPLUS

DOCUMENT NUMBER: 137:232591

TITLE: Polymer-assisted parallel solution phase synthesis of substituted benzimidazoles

AUTHOR(S): Yun, Young K.; Porco, John A., Jr.; Labadie, Jeff

CORPORATE SOURCE: Argonaut Technologies, Foster City, CA, 94404, USA

SOURCE: Synlett (2002), (5), 739-742

CODEN: SYNLES; ISSN: 0936-5214

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:232591

AB A small library of benzimidazoles was prep'd. using polymer-bound reagents and scavengers. Polymer-assisted reaction of phenylenediamines with carboxylic acids yielded o-amidophenylamines in the presence of polystyrene-carbodiimide (PS-carbodiimide) using 1-hydroxy-7-azabenzotriazole (HOAt) as additive. Excess HOAt was scavenged post-reaction using polystyrene-trisamine (PS-trisamine) resin. Treatment of o-amidophenylamines with AcOH facilitated acid-catalyzed cyclodehydration to afford benzimidazoles in good yields and excellent purities.

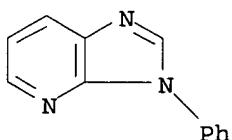
IT 61532-33-0P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(polymer-assisted parallel soln. phase synthesis of substituted benzimidazoles)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

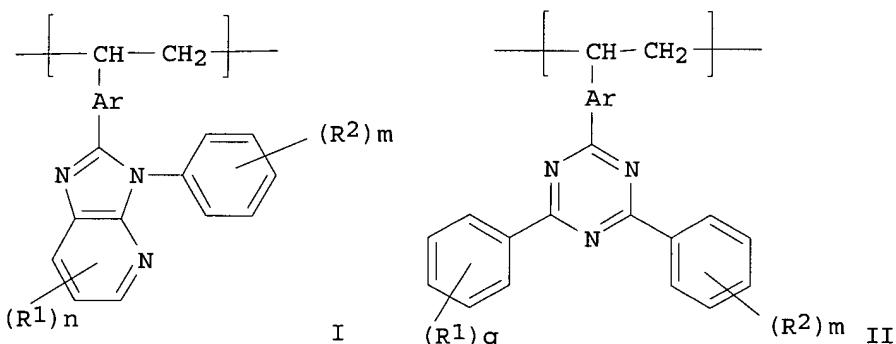
L3 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:354001 CAPLUS  
DOCUMENT NUMBER: 136:377202  
TITLE: Light-emitting device and material therefor  
INVENTOR(S): Okada, Hisashi; Ise, Toshihiro; Mishima, Masayuki;  
Taguchi, Toshiki  
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
SOURCE: U.S. Pat. Appl. Publ., 91 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002055014	A1	20020509	US 2001-935711	20010824
JP 2002319491	A2	20021031	JP 2001-236419	20010803
PRIORITY APPLN. INFO.:			JP 2000-254171	A 20000824
			JP 2001-38718	A 20010215
			JP 2001-236419	A 20010803

OTHER SOURCE(S) : MARPAT 136:377202

GT



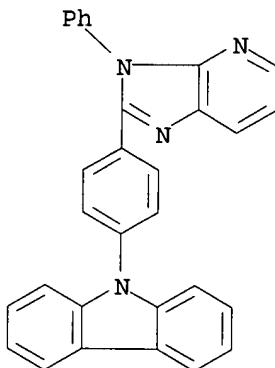
AB Light-emitting devices comprising a pair of electrodes formed on a substrate and org. compd. layers comprising a light-emitting layer provided in between the electrodes are described in which .gt;eq.1 of the org. compd. layers comprises a heterocyclic compd. having .gt;eq.2 atoms and a phosphorescent compd.; polymers with repeating units described by the general formulas I and II (Ar = arylene or divalent heterocyclic group; R1 and R2 = independently selected H or substituent; n = 0-3; q = 0-5; and m = 0-5), which may be employed as the heterocyclic compds. in the devices, are also described. The devices may also employ polymers of heterocyclic compds. from which AR is absent. The phosphorescent compd. may be an org. metal complex.

IT 350025-78-4

RL: DEV (Device component use); USES (Uses)  
(light-emitting devices with emitting layers including heterocyclic compds. and phosphorescent materials and heterocycle deriv. polymers for them)

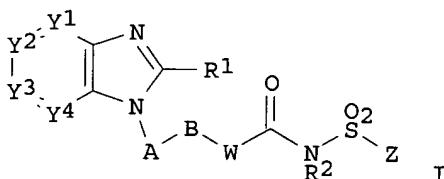
RN 350025-78-4 CAPLUS

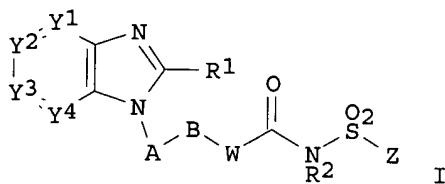
CN 9H-Carbazole, 9-[4-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)phenyl]- (9CI)  
(CA INDEX NAME)



L3 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:314939 CAPLUS  
 DOCUMENT NUMBER: 136:340677  
 TITLE: Preparation of imidazoarenes as antiinflammatory and analgesic agents.  
 INVENTOR(S): Nakao, Kazunari; Okumura, Yoshiyuki; Matsumizu, Miyako; Uneo, Naomi; Hashizume, Yoshinobu; Kato, Tomoki; Kawai, Akiyoshi; Miyake, Yoriko; Nukui, Seiji; Shinjyo, Katsuhiro; Taniguchi, Kana  
 PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.  
 SOURCE: PCT Int. Appl., 461 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032900	A2	20020425	WO 2001-IB1940	20011015
WO 2002032900	A3	20020808		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002077329	A1	20020620	US 2001-977761	20011015
US 2002107273	A1	20020808	US 2001-977621	20011015
PRIORITY APPLN. INFO.:			US 2000-241825P	P 20001019
OTHER SOURCE(S):			MARPAT	136:340677
GI				





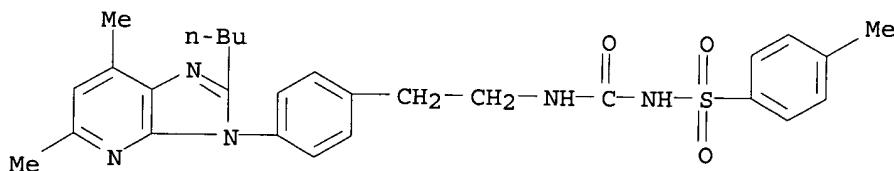
AB Title compds. [I; Y1-Y4 = N, CH, CL; R1 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (substituted) 5-6 membered monocyclic arom. ring optionally contg. up to 3 heteroatoms selected from O, N, S, etc.; B = halo-substituted alkylene, cycloalkylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (substituted) monocyclic or bicyclic aryl optionally contg. up to 3 heteroatoms selected from O, N and S, etc.; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO<sub>2</sub>, amino, etc.], were prep'd. as prostaglandin E2 receptor antagonists, preferably as EP4 receptor antagonists. Thus, to 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethylamine (prepn. given) in CH<sub>2</sub>Cl<sub>2</sub> was added p-toluenesulfonyl isocyanate followed by stirring for 3 h to give 56% 2-ethyl-5,7-dimethyl-3-[4-[2-[[[[4-methylphenyl]sulfonyl]amino]carbon yl]amino]ethyl]phenyl]-3H-imidazo[4,5-b]pyridine. Preferred I inhibited PGE2-induced thermal hyperalgesia in rats with ED<sub>50</sub><60 mg/kg.

IT 415903-06-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

RN 415903-06-9 CAPLUS

CN Benzenesulfonamide, N-[[[2-[4-(2-butyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



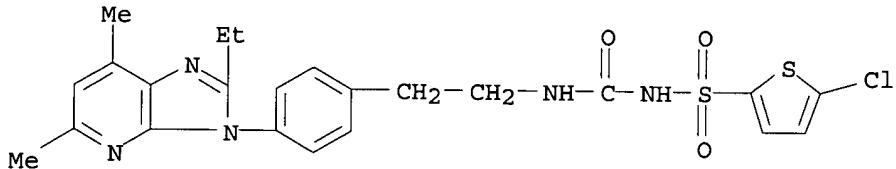
IT 415903-17-2P 415904-49-3P 415904-55-1P  
415904-92-6P 415905-80-5P 415906-11-5P  
416844-69-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

RN 415903-17-2 CAPLUS

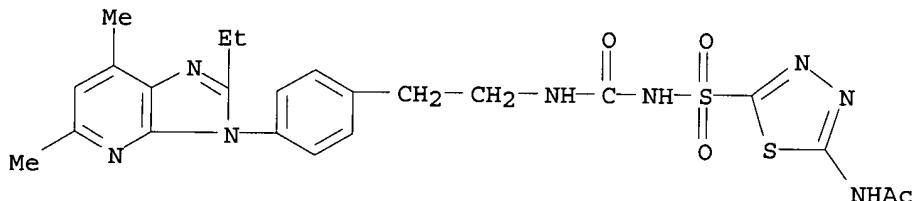
CN 2-Thiophenesulfonamide, 5-chloro-N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

US6114358



RN 415904-49-3 CAPLUS

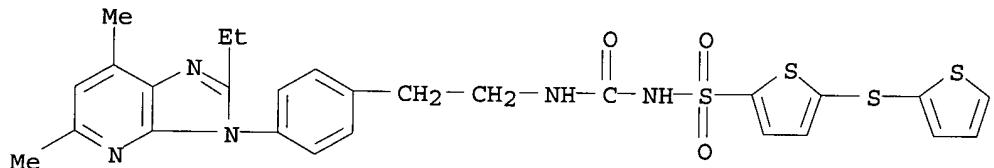
CN Acetamide, N-[5-[[[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]amino]sulfonyl]-1,3,4-thiadiazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 415904-55-1 CAPLUS

CN 2-Thiophenesulfonamide, N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-5-(2-thienylthio)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 415904-92-6 CAPLUS

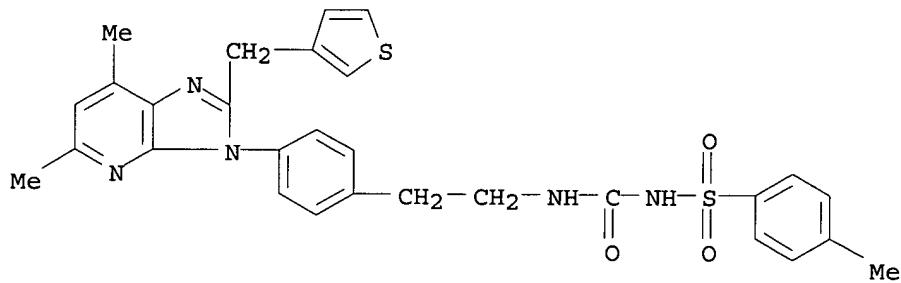
CN Formic acid, compd. with N-[[2-[4-[5,7-dimethyl-2-(3-thienylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 415904-91-5

CMF C29 H29 N5 O3 S2

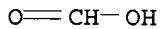
US6114358



CM 2

CRN 64-18-6

CMF C H2 O2



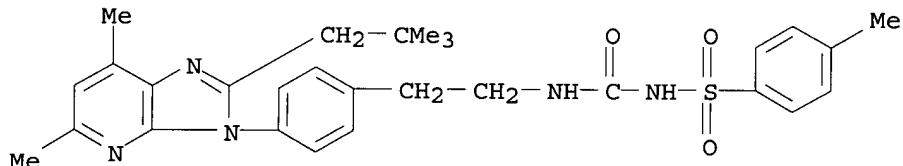
RN 415905-80-5 CAPLUS

CN Formic acid, compd. with N-[[2-[4-[2-(2,2-dimethylpropyl)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 415903-10-5

CMF C29 H35 N5 O3 S



CM 2

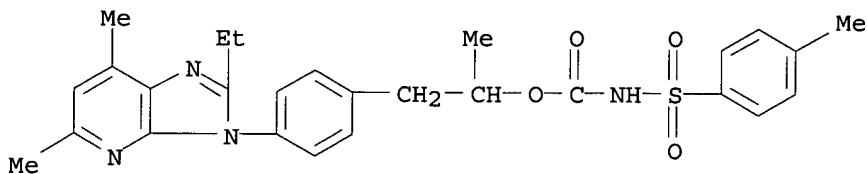
CRN 64-18-6

CMF C H2 O2



RN 415906-11-5 CAPLUS

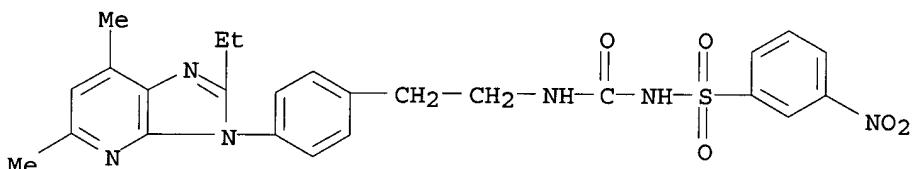
CN Carbamic acid, [(4-methylphenyl)sulfonyl]-, 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]-1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 416844-69-4 CAPLUS

CN Benzenesulfonamide, N-[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-3-nitro- (9CI) (CA INDEX NAME)



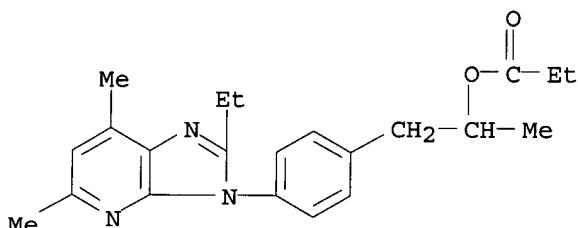
IT 415907-67-4P 415907-86-7P 415908-00-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

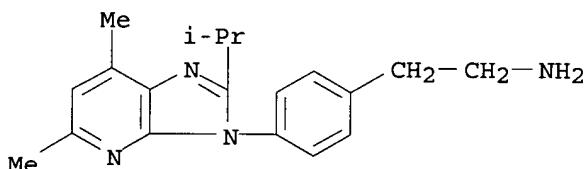
RN 415907-67-4 CAPLUS

CN Benzeneethanol, 4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)-.alpha.-methyl-, propanoate (ester) (9CI) (CA INDEX NAME)



RN 415907-86-7 CAPLUS

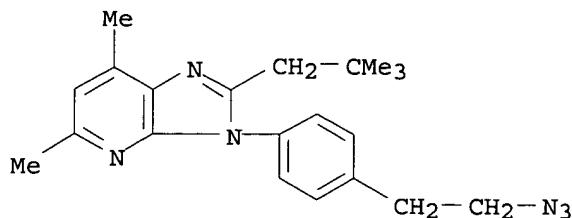
CN Benzeneethanamine, 4-[5,7-dimethyl-2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



RN 415908-00-8 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-[4-(2-azidoethyl)phenyl]-2-(2,2-

dimethylpropyl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:314767 CAPLUS

DOCUMENT NUMBER: 136:340676

TITLE: Preparation of benzimidazole derivatives as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis

INVENTOR(S): Audoly, Laurent; Okumura, Takako; Shimojo, Masato

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 468 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

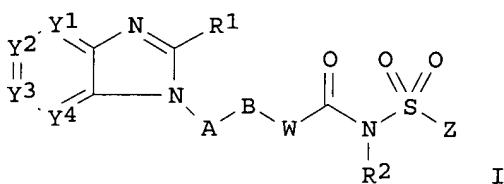
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032422	A2	20020425	WO 2001-IB1942	20011015
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002077329	A1	20020620	US 2001-977761	20011015
US 2002107273	A1	20020808	US 2001-977621	20011015

PRIORITY APPLN. INFO.: US 2000-241825P P 20001019

OTHER SOURCE(S): MARPAT 136:340676

GI



AB Benzimidazole derivs. I wherein Y1-Y4 are independently N, CH, alkyl, alkoxy, haloalkyl, halo, substituted alkyl, R1 is H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, haloalkoxy, heterocycle; R2 is H, alkyl, alkoxy, OH; A is substituted heterocycle arom ring; B is haloalkylene,

cycloalkylene, alkenylene, alkynylene, oxyalkylene; W is NH, aminoalkyl, O, S, oxime, covalent bond; Z is monocyclic and bicyclic arom. heterocycle, were prepd. as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis of rats and human. Also featured is a method of identifying agents that selectively inhibit EP4 activity in vivo. Thus, 3-(4-{2[({(3,4-dichlorophenyl)sulfonyl}amino)carbonyl]amino}ethyl}phenyl)-2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine, hydrochloride was prepd. and tested in vivo as an agent selectively inhibiting EP4 activity or selectively binding EP4; and measuring joint inflammation, joint swelling, joint ankylosis, interleukin (IL)-6, SAA protein, and/or joint mobility.

IT 415903-17-2P 415904-49-3P 415904-55-1P

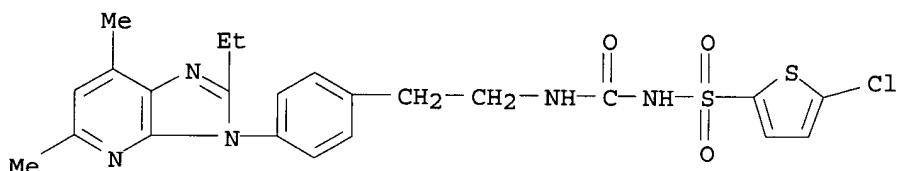
415904-92-6P 415905-80-5P 415906-11-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole derivs. as prostaglandin ep receptor inhibitors to treat rheumatoid arthritis)

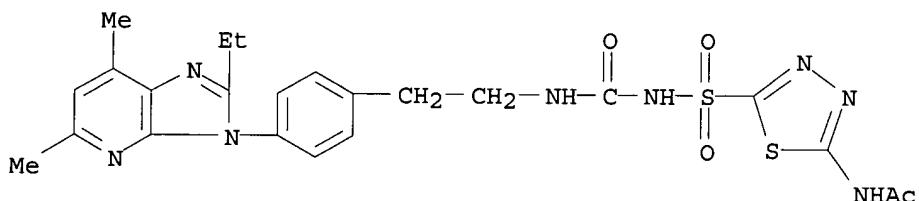
RN 415903-17-2 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 415904-49-3 CAPLUS

CN Acetamide, N-[5-[[[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]amino]sulfonyl]-1,3,4-thiadiazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

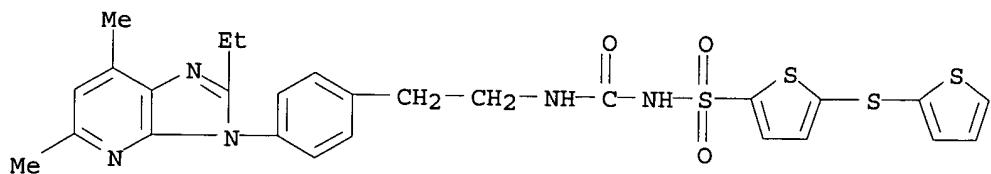


● HCl

RN 415904-55-1 CAPLUS

CN 2-Thiophenesulfonamide, N-[[2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-5-(2-thienylthio)-, monohydrochloride (9CI) (CA INDEX NAME)

US6114358



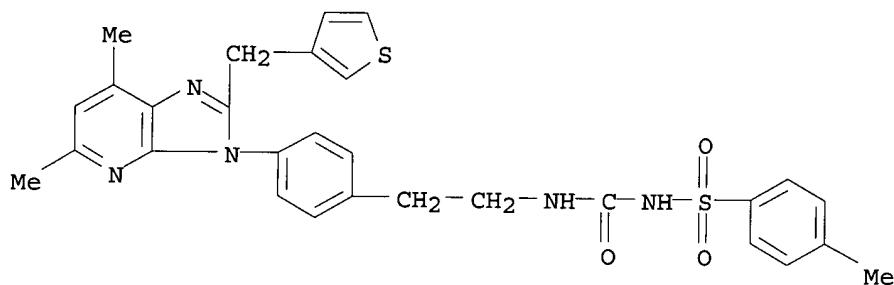
● HCl

RN 415904-92-6 CAPLUS

CN Formic acid, compd. with N-[[[2-[4-[5,7-dimethyl-2-(3-thienylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 415904-91-5  
CMF C29 H29 N5 O3 S2



CM 2

CRN 64-18-6  
CMF C H2 O2

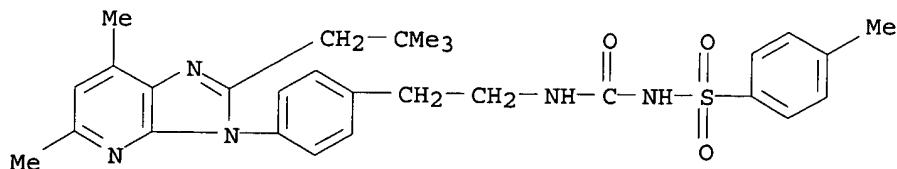
O=CH-OH

RN 415905-80-5 CAPLUS

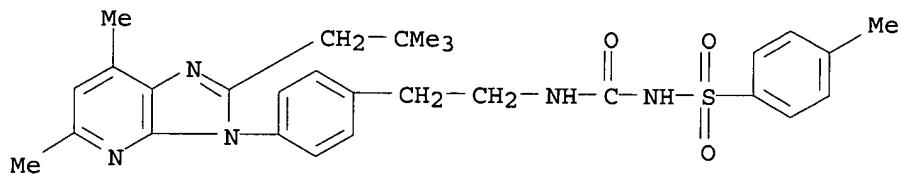
CN Formic acid, compd. with N-[[[2-[4-[2-(2,2-dimethylpropyl)-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl]amino]carbonyl]-4-methylbenzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 415903-10-5  
CMF C29 H35 N5 O3 S



US6114358

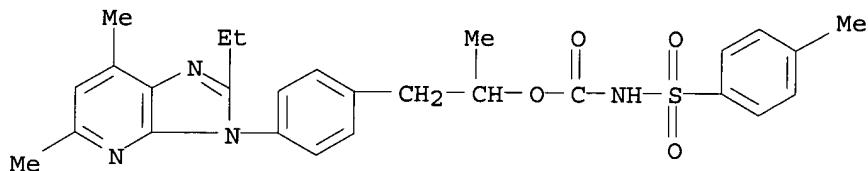


CM 2

CRN 64-18-6  
CMF C H2 O2



RN 415906-11-5 CAPLUS  
CN Carbamic acid, [(4-methylphenyl)sulfonyl]-, 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]-1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



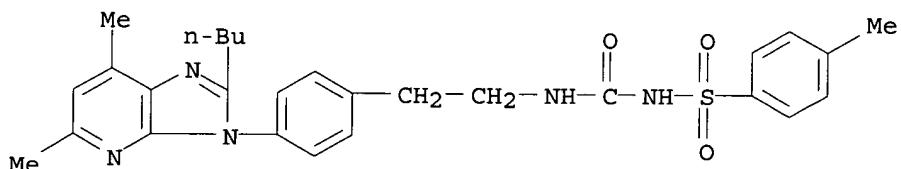
● HCl

IT 415903-06-9P 415907-67-4P 415907-86-7P  
415908-00-8P

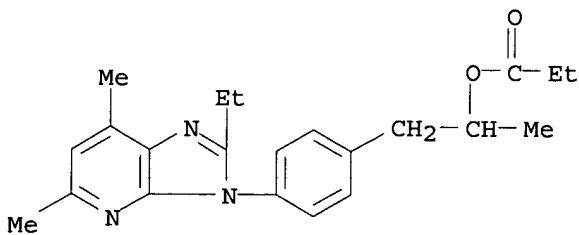
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of benzimidazole derivs. as prostaglandin ep receptor  
inhibitors to treat rheumatoid arthritis)

RN 415903-06-9 CAPLUS

CN Benzenesulfonamide, N-[[[2-[4-(2-butyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl]amino]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

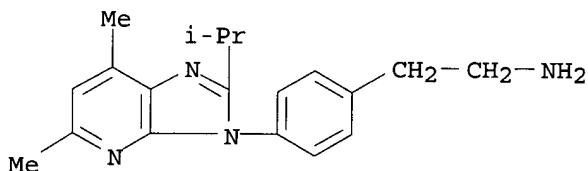


RN 415907-67-4 CAPLUS  
CN Benzeneethanol, 4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)-  
.alpha.-methyl-, propanoate (ester) (9CI) (CA INDEX NAME)



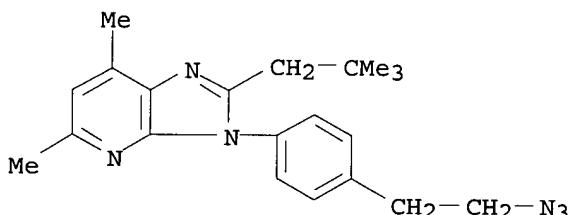
RN 415907-86-7 CAPLUS

CN Benzeneethanamine, 4- [5,7-dimethyl-2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl] - (9CI) (CA INDEX NAME)



RN 415908-00-8 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3- [4- (2-azidoethyl)phenyl]-2- (2,2-dimethylpropyl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:72050 CAPLUS

DOCUMENT NUMBER: 136:118449

TITLE: Preparation of heterocyclic beta-3 adrenergic receptor agonists

INVENTOR(S): Ashwell, Mark Anthony; Solvibile, William Ronald

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006235	A1	20020124	WO 2001-US22366	20010716
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,			

VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002022638 A1 20020221 US 2001-904115 20010712  
 US 6537994 B2 20030325

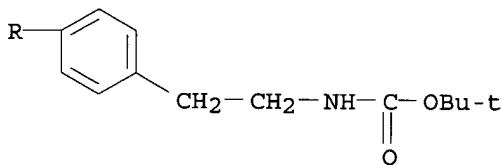
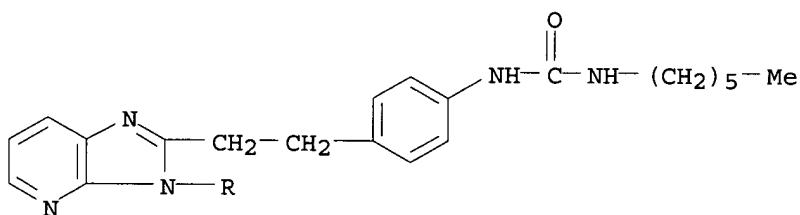
PRIORITY APPLN. INFO.: US 2000-218700P P 20000717

AB This invention provides A-U-CH(OH)CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>VC<sub>6</sub>H<sub>4</sub>W-p (1) or a pharmaceutically acceptable salt thereof, which are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically assocd. with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension and frequent urination; and are particularly useful in the treatment or inhibition of type II diabetes.

.beta.3-Adrenergic receptor EC<sub>50</sub> and maximal response (IA; % activity compd./% activity isoproterenol) values are reported for 16 example compds., e.g. 0.057 .mu.M and 1.12 for 3-[4-[2-[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propylamino]ethyl]phenyl]-1-isopropenyl-1,3-dihydroimidazo[4,5-b]pyridin-2-one. In 1, A is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, N, and S, substituted with (R<sub>1</sub>)<sub>m</sub>; (b) a Ph ring substituted with (R<sub>1</sub>)<sub>m</sub>; (c) a naphthyl ring substituted with (R<sub>1</sub>)<sub>m</sub>; or (d) a Ph fused heterocycle selected from (R<sub>1</sub>)<sub>m</sub>-substituted 1,3-dihydro-2-oxo-2H-benzimidazol-4-yl, 1,3-benzodioxol-5-yl, 1,2,3,4-tetrahydro-2-oxoquinolin-5-yl, 1,2,3,4-tetrahydro-1-naphthylideneamino. U is -OCH<sub>2</sub>- or a bond; V is O or a bond; W is an amino or amido group wherein the N is substituted by an optionally substituted pyridyl or pyrazinyl ring or the N is incorporated into an imidazole ring fused with a pyridine or pyrazine ring. R<sub>1</sub> is alkyl of 1-8 C atoms, aryl of 6-10 C atoms, -OR<sub>7</sub>, cycloalkyl of 3-8 C atoms, halogen, cyano, trifluoromethyl, CO<sub>2</sub>R<sub>7</sub>, NHCO<sub>2</sub>R<sub>7</sub>, NHO<sub>2</sub>R<sub>7</sub>, -NR<sub>7</sub>CONR<sub>8</sub>R<sub>9</sub>, -NR<sub>7</sub>R<sub>8</sub>, alkenyl of 2-7 C atoms, S(O)<sub>v</sub>R<sub>7</sub>, NO<sub>2</sub>, -O(CH<sub>2</sub>)<sub>u</sub>CO<sub>2</sub>R<sub>7</sub>, -OCONR<sub>7</sub>R<sub>8</sub>, -O(CH<sub>2</sub>)<sub>s</sub>OR<sub>7</sub>, or a 5-6 membered heterocyclic ring contg. 1 to 4 heteroatoms selected from O, S, and N. R<sub>2</sub>, R<sub>4</sub>, R<sub>7</sub>, R<sub>8</sub>, and R<sub>9</sub> are each, independently, H, alkyl of 1-8 C atoms, aryl of 6-10 C atoms, cycloalkyl of 3-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R<sub>3</sub> is H, nitro, halogen, or -NR<sub>10</sub>R<sub>11</sub>. R<sub>5</sub> is H; alkyl of 1-8 C atoms; alkenyl of 2-7 C atoms; arylalkyl having 1-8 C atoms in the alkyl moiety; alkyl of 1-8 C atoms, substituted with 1-4 substituents selected from -OR<sub>7</sub> and halogen; -(CH<sub>2</sub>)<sub>q</sub>CR<sub>12</sub>R<sub>13</sub>(CH<sub>2</sub>)<sub>r</sub>R<sub>7</sub>; aryl of 6-10 C atoms, optionally mono, di, or trisubstituted with a substituent selected from halogen, cyano, nitro, trifluoromethyl, alkyl of 1-8 carbons optionally substituted with 1-4 substituents selected from OR<sub>7</sub> or halogen, cycloalkyl of 3-8 C atoms, aryl of 6-10 C atoms, -NHCONR<sub>7</sub>R<sub>8</sub>, and -CO<sub>2</sub>R<sub>7</sub>; or a 5-6 membered heterocyclic ring contg. 1 to 4 heteroatoms selected from O, S, and N, which is optionally mono- or disubstituted with halogen, alkyl of 1-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety. R<sub>6</sub> is H, alkyl of 1-8 C atoms, alkenyl of 2-7 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R<sub>10</sub> and R<sub>11</sub> are each, independently, H, alkyl of 1-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl moiety, -COR<sub>7</sub>, or -CONR<sub>7</sub>R<sub>8</sub>; R<sub>12</sub> and R<sub>13</sub> are each, independently, H, alkyl of 1-8 C atoms, or aryl of 6-10 C atoms which is optionally substituted with alkyl of 1-8 C atoms or halogen; or R<sub>12</sub> and R<sub>13</sub> are taken together to form a spiro fused cycloalkyl ring of 3-8 C atoms. M = 0-2; q = 0-5; r = 0-5; s = 1-4; u = 1-4; v = 0-2. Methods of prepns. are claimed, comprising (a) reacting A-U-substituted oxirane or a protected form thereof in which a reactive substituent group is protected, with H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>VC<sub>6</sub>H<sub>4</sub>W-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1. (b) reacting ACH(OPr)CH<sub>2</sub>I, wherein Pr is a protecting group, with H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>VC<sub>6</sub>H<sub>4</sub>W-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U represents a bond. (c) removing any protecting group from 1 in which at

least one substituent carries a protecting group to give 1; or (d) converting a basic compd. 1 to a salt thereof by reaction with a pharmaceutically acceptable acid or (e) converting 1 having one or more reactive substituent groups to a different 1; or (f) isolating an isomer of 1 from a mixt. thereof.

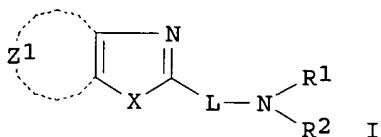
IT 391674-60-5P, tert-Butyl 4-[2-[4-[(hexylamino)carbonyl]amino]phenethyl]-3H-imidazo[4,5-b]pyridin-3-yl]phenethylcarbamate  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; prepn. of heterocyclic amino alc. beta-3 adrenergic receptor agonists)  
 RN 391674-60-5 CAPLUS  
 CN Carbamic acid, [2-[4-[2-[2-[4-[(hexylamino)carbonyl]amino]phenyl]ethyl]-3H-imidazo[4,5-b]pyridin-3-yl]phenyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001:517740 CAPLUS  
 DOCUMENT NUMBER: 135:114270  
 TITLE: Novel condensed hetero ring compound and electroluminescent material  
 INVENTOR(S): Ise, Toshihiro; Okada, Hisashi  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001192653	A2	20010717	JP 2000-89632	20000328
PRIORITY APPLN. INFO.: GI			JP 1999-305733	A 19991027



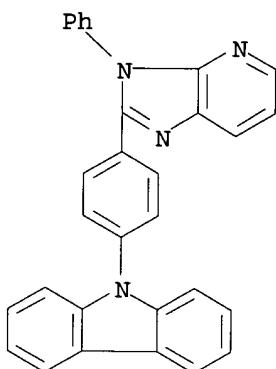
AB The invention refers to a novel condensed hetero ring compd. I [R1,2 = H, aliph. hydrocarbon, aryl or hetero ring; Z1 = atoms need to construct a heterocyclic; L = bridging functional group; X = O, S, Se, Trace element or N-R; R = H, aliph. hydrocarbon, aryl or heterocyclic].

IT 350025-78-4P

RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)  
(novel condensed hetero ring compd. and electroluminescent material)

RN 350025-78-4 CAPLUS

CN 9H-Carbazole, 9-[4-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl)phenyl]- (9CI)  
(CA INDEX NAME)



L3 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:766799 CAPLUS

DOCUMENT NUMBER: 133:327749

TITLE: Organic electroluminescent materials having azole ring, azole compound complexes, and electroluminescent devices

INVENTOR(S): Igarashi, Tatsuya; Okada, Hisashi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000302754	A2	20001031	JP 1999-340788	19991130
US 6358634	B1	20020319	US 2000-504122	20000215
PRIORITY APPLN. INFO.:			JP 1999-36107	A 19990215
			JP 1999-340788	A 19991130
OTHER SOURCE(S):	MARPAT 133:327749			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The electroluminescent materials comprise compds. having partial structure I [R11, R12 = H, substituent; R11 and R12 do not form a ring; X1 = O, S, (un)substituted N, CR13R14; R13, R14 = H, substituent; Y1 = O, S, (un)substituted N; M1 = metal ion, H; Z1 = at. group to form a 5-6-membered ring] or II (Q1 = at. group to form a heterocycle; X2, Y2, M2, and Z2 = any group given for X1, Y1, M1, and Z1, resp.). Also claimed are azole compd. complexes III (R21, R22 = H, alkyl, aryl, heteroaryl; X3 and Y3 = any group given for X1 and Y1, resp.; M3 = metal ion; q1 .gtoreq. 1; L1 = ligand; m1 .gtoreq. 0; Z3 has no definition) and IV (Q2, X4, and Y4 = any group given for Q1, X1, and Y1, resp.; M4 = metal ion; q2 .gtoreq. 1; L2 = ligand; m2 .gtoreq. 0) and org. electroluminescent devices having which has .gtoreq.1 layer contg. .gtoreq.1 selected from I, II, III, and IV. A mixt. of salicylic acid, AcOEt, and DMF was treated with (COCl)<sub>2</sub> at room temp. for 30 min and then treated with benzoin and Et<sub>3</sub>N at room temp. for 3 h to give 2-HOC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>CHPhCOBz. This was treated with AcONH<sub>4</sub> in AcOH under reflux and the resulting triphenyloxazole deriv. was further treated with Zn(OAc)<sub>2</sub> to give III (R21 = R22 = Ph, X3 = Y3 = O, M3 = Zn, q1 = 2, m1 = 0, Z3 = at. group to give a condensed benzene ring). An electroluminescent device having a luminescent layer contg. the complex showed blue emission.

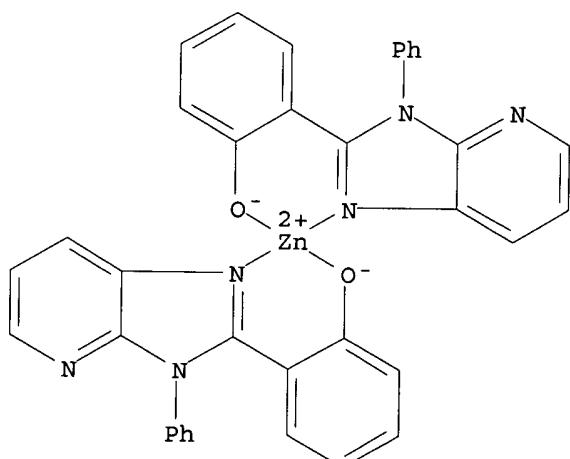
IT 303049-17-4P

RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)

(prepn. of azole compds. and their metal complexes for electroluminescent devices)

RN 303049-17-4 CAPLUS

CN Zinc, bis[2-(3-phenyl-3H-imidazo[4,5-b]pyridin-2-yl-.kappa.N1)phenolato-.kappa.O]-, (T-4)- (9CI) (CA INDEX NAME)



L3 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:471599 CAPLUS

DOCUMENT NUMBER: 133:252364

TITLE: A solid-phase synthetic route to substituted 7-azabenzimidazoles suitable for combinatorial library synthesis

AUTHOR(S): Farrant, E.; Rahman, S. S.

CORPORATE SOURCE: Combinatorial and Chemical Technologies, SmithKline

SOURCE: Beecham Pharmaceuticals, Essex, CM19 5AW, UK  
 Tetrahedron Letters (2000), 41(28), 5383-5386  
 CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 133:252364

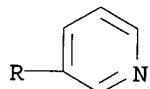
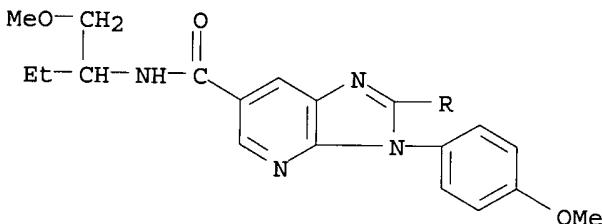
AB A novel route for the solid-phase synthesis of 1,2,5-substituted 7-azabenzimidazoles was developed. Primary amines are attached to an aldehyde resin and then coupled to 6-chloro-5-nitronicotinyl chloride. Subsequent alkylation with amines, redn. of the nitro group, and cyclocondensation with aldehydes gives 1,2,5-substituted 7-azabenzimidazoles.

IT 294844-94-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (solid-phase synthesis of azabenzimidazole combinatorial library)

RN 294844-94-3 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine-6-carboxamide, N-[1-(methoxymethyl)propyl]-3-(4-methoxyphenyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



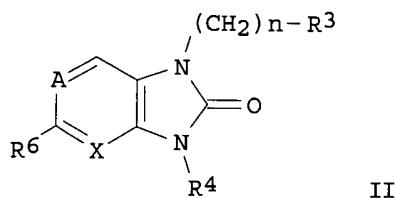
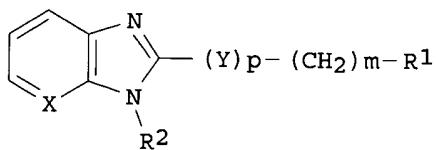
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1999:723021 CAPLUS  
 DOCUMENT NUMBER: 131:337022  
 TITLE: Preparation of condensed imidazole derivative as therapeutic agents for liver disease  
 INVENTOR(S): Nagasawa, Masaaki; Nishioka, Hiroyasu; Suzuki, Takanori; Segawa, Yoshihide; Tsuzuike, Naoki  
 PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan; Zeria Pharmaceutical Co., Ltd.  
 SOURCE: PCT Int. Appl., 126 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9957103	A1	19991111	WO 1999-JP2309	19990430
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM,				

AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1998-136045 19980430  
 OTHER SOURCE(S): MARPAT 131:337022  
 GI



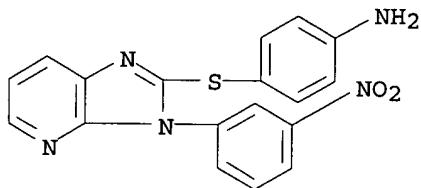
AB Title compds. I and II (X, Z = N, CH; A = N, CR5; Y = O, S, SO, SO2, NH; p = 0, 1; m = 0, 1, 2; n = 1, 2; R1 = Ph, pyridyl, etc; R2, R4 = Ph, pyridyl, substituted Ph, etc.; and R5, R6 = H; R5R6 = an atom group forming an arom. ring together with the carbon atoms to which they are attached) and their pharmaceutically acceptable salts, useful as a therapeutic agents for liver diseases with no serious adverse effect, are prep'd. Thus, refluxing 2-(3-nitrophenylamino)nicotinic acid with diphenylphosphoryl azide in toluene in the presence of Et3N gave 3-(3-nitrophenyl)-1,3-dihydroimidazo[4,5-b]pyridine, refluxing of which with PC15 and POCl3 gave, after treatment with 3-hydroxypyridine and NaH in DMF, 3-(3-nitrophenyl)-2-(3-pyridyl)oxy-3H-imidazo[4,5-b]pyridine. 1-(4-Pyridyl)methyl-3-(3-nitrophenyl)-1,3-dihydroimidazo[4,5-b]pyridine administered 30 mg/kg orally to BALB/C mice prior to i.v. administration of Con-A inhibited the Con-A induced liver damage as reflected by blood GPT levels.

IT 249605-44-5P 249605-60-5P

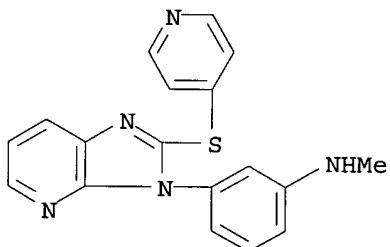
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of condensed imidazole derivs. as therapeutic agents for liver disease)

RN 249605-44-5 CAPLUS

CN Benzenamine, 4-[(3-(3-nitrophenyl)-3H-imidazo[4,5-b]pyridin-2-yl]thio]-(9CI) (CA INDEX NAME)



RN 249605-60-5 CAPLUS  
 CN Benzenamine, N-methyl-3-[2-(4-pyridinylthio)-3H-imidazo[4,5-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:789290 CAPLUS  
 DOCUMENT NUMBER: 130:177118  
 TITLE: Structure-activity relationships for 1-phenylbenzimidazoles as selective ATP site inhibitors of the platelet-derived growth factor receptor  
 AUTHOR(S): Palmer, Brian D.; Smaill, Jeff B.; Boyd, Maruta; Boschelli, Dianne H.; Doherty, Annette M.; Hamby, James M.; Khatana, Sonya S.; Kramer, James B.; Kraker, Alan J.; Panek, Robert L.; Lu, Gina H.; Dahring, Tawny K.; Winters, R. Thomas; Showalter, H. D. Hollis; Denny, William A.  
 CORPORATE SOURCE: Auckland Cancer Society Research Centre Faculty of Medicine and Health Sciences, The University of Auckland School of Medicine, Auckland, N. Z.  
 SOURCE: Journal of Medicinal Chemistry (1998), 41(27), 5457-5465  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB 1-Phenylbenzimidazoles are shown to be a new class of ATP-site inhibitors of the platelet-derived growth factor receptor (PDGFR). Structure-activity relationships (SARs) are narrow, with closely related heterocycles being inactive. A systematic study of substituted 1-phenylbenzimidazoles showed clear SARs. Substituents at the 4'- and 3'-positions of the Ph ring are tolerated but do not significantly improve activity, while substituents at the 2'-position abolish it. Substituents in the 2-, 4-, and 7-positions of the benzimidazole ring (with the exception of 4-OH) also abolish activity. Most substituents at the 5- and 6-positions maintain or increase activity, with the 5-OH, 5-OMe, 5-COMe, and 5-CO2Me analogs being >10-fold more potent than the parent 1-phenylbenzimidazole. The 5-OMe analog was both the most potent

US6114358

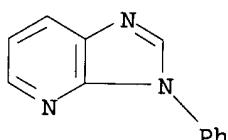
inhibitor, and showed the highest selectivity (50-fold) between PDGFR and FGFR isolated enzymes, and also a moderately effective inhibitor ( $IC_{50} = 1.9 \mu M$ ) of PDGF-stimulated PDGFR autophosphorylation in rat aorta smooth muscle cells.

IT 220495-90-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(structure-activity relationships for 1-phenylbenzimidazoles as selective ATP site inhibitors of the platelet-derived growth factor receptor)

RN 220495-90-9 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

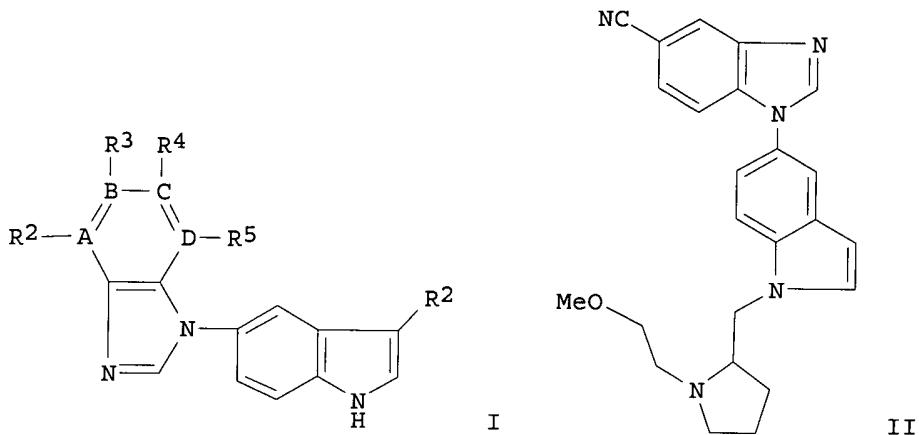
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1995:354225 CAPLUS  
DOCUMENT NUMBER: 122:133200  
TITLE: 5-aryllindole derivatives and their use as serotonin (5-HT1) agonists  
INVENTOR(S): Macor, John Eugene  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: PCT Int. Appl., 72 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410171	A1	19940511	WO 1993-US9790	19931019
W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2148380	AA	19940511	CA 1993-2148380	19931019
CA 2148380	C	20010814		
CA 2340999	AA	19940511	CA 1993-2340999	19931019
AU 9453294	A1	19940524	AU 1994-53294	19931019
AU 685066	B2	19980115		
EP 666858	A1	19950816	EP 1993-923389	19931019
EP 666858	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07508759	T2	19950928	JP 1993-511101	19931019
JP 2788551	B2	19980820		
CZ 283001	B6	19971217	CZ 1995-1108	19931019
PL 176091	B1	19990430	PL 1993-308669	19931019
BR 9307348	A	19990511	BR 1993-7348	19931019

RU 2134266	C1	19990810	RU 1995-109927	19931019
EP 1094064	A1	20010425	EP 2000-124422	19931019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
ES 2157224	T3	20010816	ES 1993-923389	19931019
IL 107386	A1	19990312	IL 1993-107386	19931025
FI 9304825	A	19940503	FI 1993-4825	19931101
CN 1094727	A	19941109	CN 1993-120716	19931101
CN 1051313	B	20000412		
ZA 9308137	A	19950502	ZA 1993-8137	19931101
HU 66011	A2	19940829	HU 1993-3118	19931102
US 5886008	A	19990323	US 1995-424357	19950427
NO 9501633	A	19950428	NO 1995-1633	19950428
FI 2000002505	A	20001115	FI 2000-2505	20001115
PRIORITY APPLN. INFO.:				
		US 1992-970758	A	19921102
		CA 1993-2148380	A3	19931019
		EP 1993-923389	A3	19931019
		WO 1993-US9790	W	19931019
OTHER SOURCE(S): MARPAT 122:133200				

OTHER SOURCE(S) : MARPAT 122:133200  
GI



AB The title compds. I (R1 = aminoalkyl; R2-R5 = H, alkyl, aryl, etc.) were disclosed. I are useful in treating migraine and other disorders; they are useful psychotherapeutics and are potent serotonin (5-HT1) agonists and benzodiazepine agonists and antagonists and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain and chronic paroxysmal hemicrania and headache assocd. with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. I are also useful as centrally acting antihypertensives and vasodilators. A specifically claimed example compd. is 5-cyano-1-[(3-[1-(2-methoxyethyl)-2-pyrrolidinyl]methyl]-5-indolyl]-1H-benzimidazole (II).

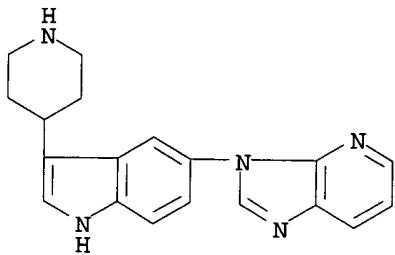
IT 160906-88-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prep. of, as intermediate for arylindole serotoninergic agonist)

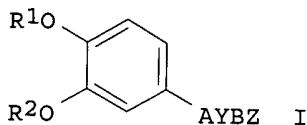
RN 160906-88-7 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-[3-(4-piperidinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



L3 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1994:655405 CAPLUS  
 DOCUMENT NUMBER: 121:255405  
 TITLE: Catechol diethers as selective phosphodiesterase IV inhibitors  
 INVENTOR(S): Duplantier, Allen J.; Eggler, James F.; Marfat, Anthony; Masamune, Hiroko  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: PCT Int. Appl., 159 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9412461	A1	19940609	WO 1993-US10228	19931029
W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2150812	AA	19940609	CA 1993-2150812	19931029
CA 2150812	C	20021224		
AU 9455396	A1	19940622	AU 1994-55396	19931029
AU 673569	B2	19961114		
EP 672031	A1	19950920	EP 1994-900390	19931029
EP 672031	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08501318	T2	19960213	JP 1993-513129	19931029
BR 9307570	A	19990525	BR 1993-7570	19931029
JP 3100984	B2	20001023	JP 1994-513129	19931029
AT 234270	E	20030315	AT 1994-900390	19931029
IL 107758	A1	19971120	IL 1993-107758	19931125
FI 9305379	A	19940603	FI 1993-5379	19931201
ZA 9308978	A	19950601	ZA 1993-8978	19931201
HU 65928	A2	19940728	HU 1993-3423	19931202
CN 1094028	A	19941026	CN 1993-112776	19931202
NO 9502178	A	19950801	NO 1995-2178	19950601
US 5814651	A	19980929	US 1997-872686	19970610
PRIORITY APPLN. INFO.:			US 1992-984408	A 19921202
			WO 1993-US10228	W 19931029
			US 1993-142328	B3 19931126
OTHER SOURCE(S):	MARPAT 121:255405			
GI				



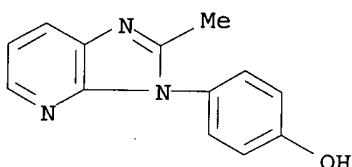
AB The title compds. [I; A, B = direct bond, (un)substituted C1-5 alkylene, (un)substituted alkenyl, (un)substituted phenylene; R1 = Me, Et, CF2H, CF3; R2 = C1-6 alkyl, alkoxyalkyl, phenoxyalkyl, cycloalkyl, etc.; Y = direct bond, O, NR6, S; R6 = H, C1-4 alkyl; Z = (un)substituted monocyclic or bicyclic heterocyclyl], which are inhibitors of phosphodiesterase IV (no data), useful in the treatment of inflammatory conditions (no data), etc., are prep'd. Thus, 3-(carbomethoxy)benzyltriphenylphosphonium bromide was reacted with 3-cyclopentyloxy-4-methoxybenzaldehyde in the presence of BuLi, producing Me 3-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]ethenyl]benzoate (36% cis-isomer, 36% trans-isomer).

IT 132458-94-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and reaction of, in prepn. of catechol diether phosphodiesterase IV inhibitors)

RN 132458-94-7 CAPLUS

CN Phenol, 4-(2-methyl-3H-imidazo[4,5-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)

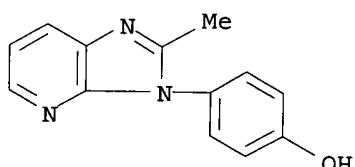


IT 132458-94-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in prepn. of catechol diether phosphodiesterase IV inhibitors)

RN 132458-94-7 CAPLUS

CN Phenol, 4-(2-methyl-3H-imidazo[4,5-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)



L3 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:400458 CAPLUS

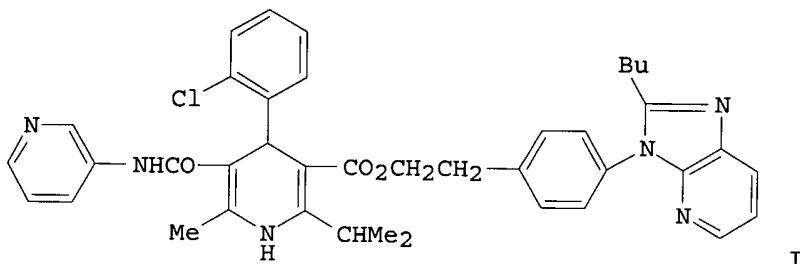
DOCUMENT NUMBER: 121:458

TITLE: Dihydropyridines: a new class of angiotensin II antagonists

AUTHOR(S): Wester, Ronald T.; Jularski, Christian J.; Magnus-Ayritey, George T.; da Silva Jardine, Paul; LaFlamme, Janet S.; Berke, Helen; Bussolotti, Donald L.; Rauch, Albert L.; Hoover, Karen W.  
CORPORATE SOURCE: Dep. Med. Chem., Pfizer Cent. Res., Groton, CT, 06340,

US6114358

SOURCE: USA  
Bioorganic & Medicinal Chemistry Letters (1994), 4(1),  
133-8  
CODEN: BMCL8; ISSN: 0960-894X  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



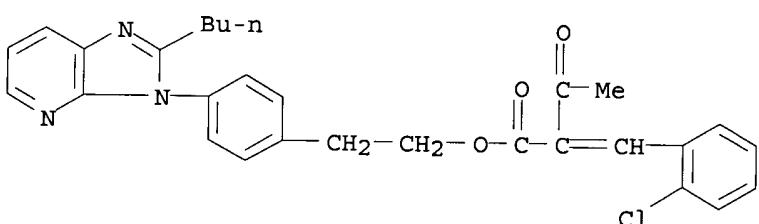
AB The synthesis and biol. activities of dihydropyridine angiotensin II (AII) antagonists are described. Compds. such as I are examples of a new, structurally distinct class for AT1-selective agents.

IT 154668-32-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and Hantzsch reaction of, with enamine deriv.)

RN 154668-32-3 CAPLUS

CN Butanoic acid, 2-[(2-chlorophenyl)methylene]-3-oxo-, 2-[4-(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:449305 CAPLUS

DOCUMENT NUMBER: 119:49305

TITLE: Ethoxymethylenemalonates and malononitriles (EMM reagents) as formic acid equivalents: synthesis of fused-imidazoles under neutral or mildly acidic conditions

AUTHOR(S): Segelstein, Barb E.; Chenard, Bert L.; Macor, John E.; Post, Ronald J.

CORPORATE SOURCE: Cent. Res. Div., Pfizer Inc., Groton, CT, 06340, USA  
SOURCE: Tetrahedron Letters (1993), 34(12), 1897-1900

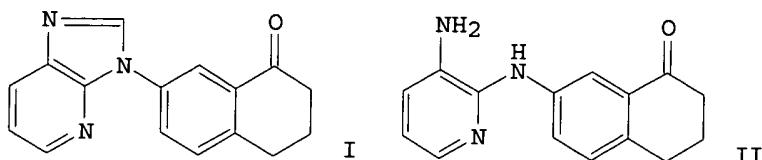
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

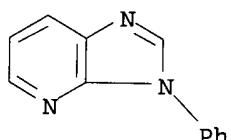
LANGUAGE: English

OTHER SOURCE(S): CASREACT 119:49305

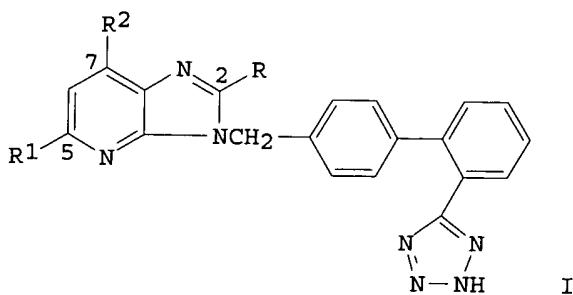
GI



AB The use of ethoxymethylenemalonates and malononitriles (EMM reagents) for the efficient synthesis of fused imidazoles and related compds. is described. E.g., imidazolopyridine I was prep'd. from ortho-diamine II and di-Et ethoxymethylenemalonate in isopropanol solvent in 88% yield.  
 IT **61532-33-0P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prep'n. of)  
 RN 61532-33-0 CAPLUS  
 CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1991:535998 CAPLUS  
DOCUMENT NUMBER: 115:135998  
TITLE: Potent, orally active imidazo[4,5-b]pyridine-based angiotensin II receptor antagonists  
AUTHOR(S): Mantlo, Nathan B.; Chakravarty, Prasun K.; Ondeyka, Debra L.; Siegl, Peter K. S.; Chang, Raymond S.; Lotti, Victor J.; Faust, Kristie A.; Schorn, Terry W.; Chen, Tsing Bau; et al.  
CORPORATE SOURCE: Explor. Chem., Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA  
SOURCE: Journal of Medicinal Chemistry (1991), 34(9), 2919-22  
DOCUMENT TYPE: CODEN: JMCMAR; ISSN: 0022-2623  
LANGUAGE: Journal  
GT English



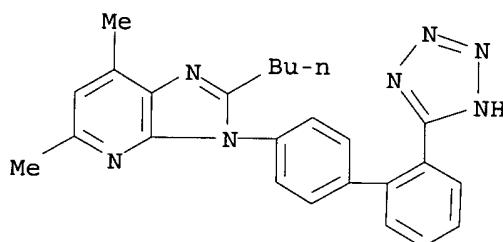
AB Several title Angiotensin II (AII) antagonists I ( $R = Et, Pr, Bu, R1 = R2 = H, Me; R1 = Me, R2 = H; R1 = H, R2 = Me$ ) were prep'd. Substituents at the 2, 5, and 7-positions of the imidazopyridine have a profound effect on the in vitro binding affinity to AII receptors (rabbit aorta membrane prep'n.) and on the inhibition of the AII-induced pressor responses in conscious rats. The most active compd., I ( $R = Et, R1 = R2 = Me$ ) is extremely potent in vitro ( $IC_{50} = 0.3$  nM, rabbit aorta), and in vivo ( $ED_{50} = 0.048$  mg/Kg i.v. and 0.026 mg/Kg p.o., conscious rat). This compd. is a specific AT1 antagonist, and substantially lowers the blood pressure of high-renin hypertensive rats upon oral dosing (0.1 and 0.3 mg/Kg) with a duration of action exceeding 24 h.

IT 135145-94-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prep'n. and Angiotensin II antagonist activity of)

RN 135145-94-7 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 2-butyl-5,7-dimethyl-3-[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



L3 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:114909 CAPLUS

DOCUMENT NUMBER: 114:114909

TITLE:

Synthesis and pharmacological properties of some derivatives of 3-phenylimidazo[4,5-b]pyridine

Kaczmarek, Lukasz; Nantka-Namirska, Pawel; Moryl, Elzbieta; Chojnacka-Wojcik, Ewa

AUTHOR(S): Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01-224, Pol.

CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01-224, Pol.

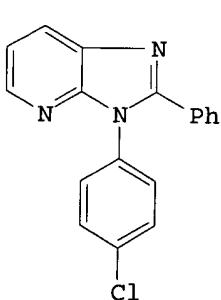
SOURCE: Polish Journal of Pharmacology and Pharmacy (1990), 42(1), 79-84

DOCUMENT TYPE: CODEN: PJPPAA; ISSN: 0301-0244

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:114909

GI



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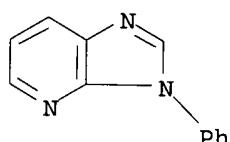
US6114358

AB The synthesis and properties of some derivs. of 3-phenylimidazo[4,5-b]pyridine were described. The central action of these compds. was investigated, using behavioral tests in mice and rats. The tested compds. showed a potent sedative effect. The compd. (I) has central serotoninolytic properties in the m-chlorophenylpiperazine-induced hyperthermia in rats.

IT 61532-33-0DP, derivs. 132458-93-6P 132458-94-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and central nervous system pharmacol. of)

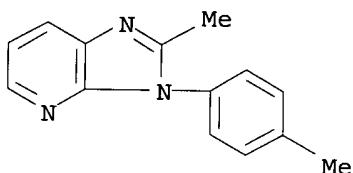
RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



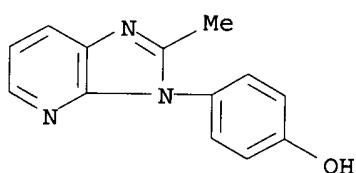
RN 132458-93-6 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 2-methyl-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 132458-94-7 CAPLUS

CN Phenol, 4-(2-methyl-3H-imidazo[4,5-b]pyridin-3-yl)- (9CI) (CA INDEX NAME)



L3 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:231514 CAPLUS

DOCUMENT NUMBER: 110:231514

TITLE: Thionation of imidazopyridines

AUTHOR(S): Yutilov, Yu. M.; Svertilova, I. A.

CORPORATE SOURCE: Inst. Fiz.-Org. Khim., Donetsk, 340114, USSR

SOURCE: Khimiya Geterotsiklichesikh Soedinenii (1988), (6), 799-804

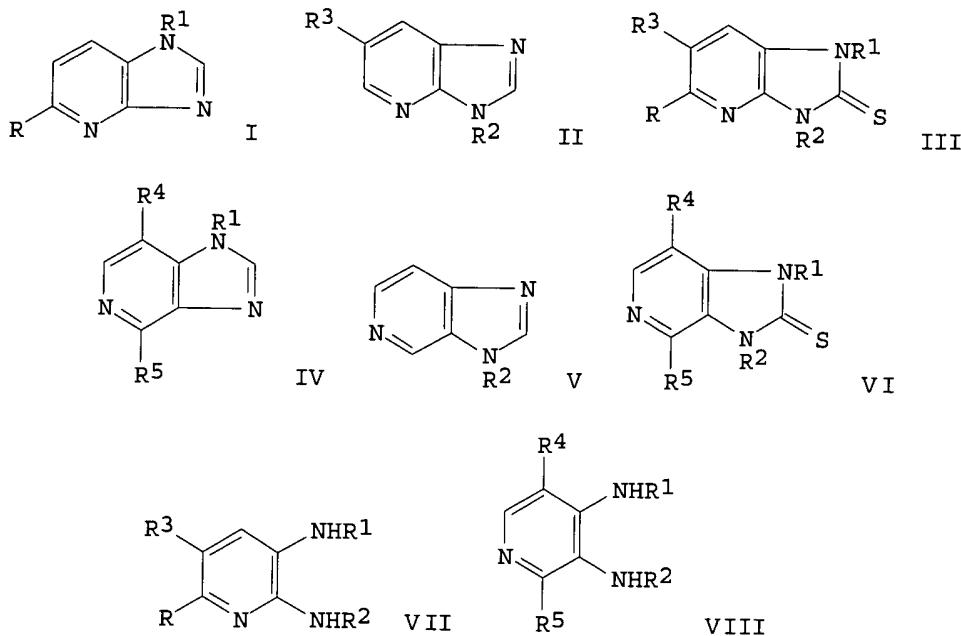
CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 110:231514

GI



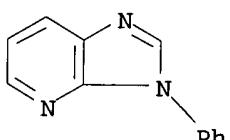
AB Direct thionation of imidazo[4,5-b]pyridines I ( $R = H, O_2N$ ;  $R1 = Me$ ) and II ( $R2 = Me, Ph, PhCH_2$ ,  $R3 = H$ ;  $R2 = H, R3 = H, Cl, Br$ ) with S at 230-260. $^\circ$  gave 8 corresponding dihydroimidazopyridinethiones III in 76-99% yield. Analogous reaction of 12 imidazo[4,5-c]pyridines IV ( $R1 = H, Me_2CH, Bu, cyclohexyl, Ph, PhCH_2$ ;  $R4 = H, Br, NO_2$ ;  $R5 = H, Cl, OMe$ ) and V ( $R2 = Me, Et, Ph, CH_2$ ) gave  $\geq 99\%$  thiones VI (same  $R1-R5$ ). III and VI were also prep'd. in 66-99% by cyclocondensation reaction of the corresponding diaminopyridines VII and VIII, resp., with  $CS_2$  or aq.  $EtOCS_2M$  ( $M = Na, K$ ) in refluxing pyridine. The IR spectra of III and VI verified the thione structure.

IT 61532-33-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(thionation of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:34516 CAPLUS

DOCUMENT NUMBER: 100:34516

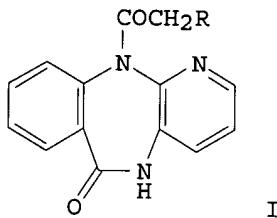
TITLE: New synthesis of 11-acyl-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones and related studies

AUTHOR(S): Kovac, T.; Oklobdzija, M.; Comisso, G.; Decorte, E.; Fajdiga, T.; Moimas, F.; Angeli, C.; Zonno, F.; Toso, R.; Sunjic, V.

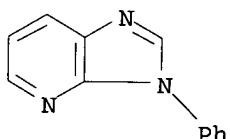
CORPORATE SOURCE: Chem. Res. Co., San Giovanni, Italy

US6114358

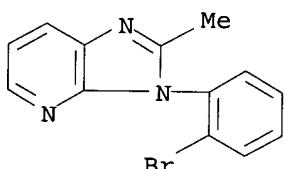
SOURCE: *Journal of Heterocyclic Chemistry* (1983), 20(5), 1339-49  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 100:34516  
GI



AB 11-Acyl-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones I (R = 4-methylpiperazino, imidazolo, 2-methylimidazolo) were prepd. via N-.alpha.-chloroacetylation and aminolysis. Other attempts at cyclization to form I are also reported.  
IT 61532-33-0P 88369-70-4P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 61532-33-0 CAPLUS  
CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



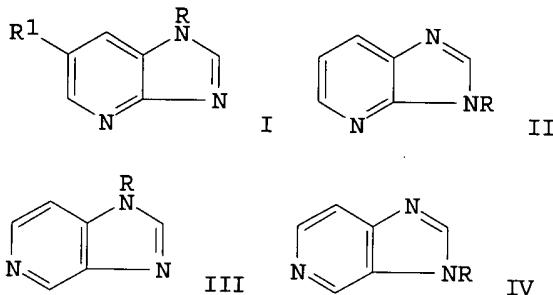
RN 88369-70-4 CAPLUS  
CN 3H-Imidazo[4,5-b]pyridine, 3-(2-bromophenyl)-2-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1981:156825 CAPLUS  
DOCUMENT NUMBER: 94:156825  
TITLE: Cyclization of o-diaminopyridines by amyl ester of formic acid  
AUTHOR(S): Ignatenko, A. G.; Eilazyan, O. G.; Svertilova, I. A.; Yutilov, Yu. M.  
CORPORATE SOURCE: Inst. Fiz.-Org. Khim. Uglekhim., Donetsk, USSR

US6114358

SOURCE: Deposited Doc. (1980), VINITI 296, 7 pp. Avail.:  
VINITI  
DOCUMENT TYPE: Report  
LANGUAGE: Russian  
GI



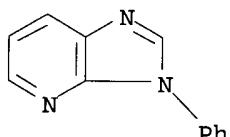
AB Imidazopyridines I (R = H, R1 = H, Cl; R = Me, R1 = H), II (R = Me, Ph, PhCH2), III (R = Me, Ph, PhCH2, cyclohexyl), and IV (R = H, Me, PhCH2) were prepd. in 85-98% yields by cyclocondensation of an appropriate o-diaminopyridine with  $\text{HCO}_2(\text{CH}_2)_4\text{Me}$  2-3.5 h at reflux.

IT 61532-33-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



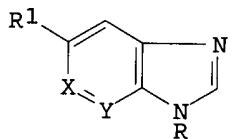
L3 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1980:604646 CAPLUS  
DOCUMENT NUMBER: 93:204646  
TITLE: Imidazo[4,5-b] or [4,5-c] pyridine or their derivatives  
INVENTOR(S): Yutilov, Yu. M.; Ignatenko, A. G.; Eilazyan, O. G.; Svertilova, I. A.  
PATENT ASSIGNEE(S): Institute of Physical-Organic Chemistry and Coal Chemistry, Academy of Sciences, Ukrainian S.S.R., USSR  
SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1980, (7), 121-2.  
CODEN: URXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Russian  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 717055	T	19800225	SU 1977-2561027	19771229

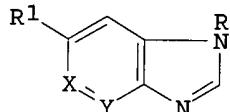
US6114358

PRIORITY APPLN. INFO.:  
GI

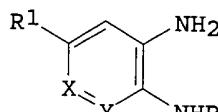
SU 1977-2561027 19771229



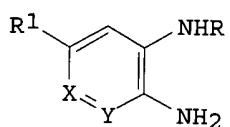
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IV

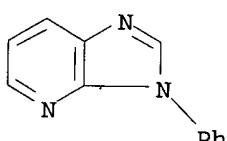
AB Imidazopyridines I ( $X = CH$ ,  $Y = N$ ,  $R = R1 = H$ ,  $R = Me$ ,  $Ph$ ,  $benzyl$ ,  $R1 = H$ ,  $R = H$ ,  $R1 = Cl$ ;  $X = N$ ,  $Y = CH$ ,  $R = R1 = H$ ,  $R = Me$ ,  $benzyl$ ,  $R1 = H$ ) and II ( $X = CH$ ,  $Y = N$ ,  $R = Me$ ,  $R1 = H$ ;  $X = N$ ,  $Y = CH$ ,  $R = Me$ ,  $Ph$ ,  $benzyl$ ,  $cyclohexyl$ ,  $R1 = H$ ) were prep'd. by treating aminopyridines III or IV with a cyclizing agent, e.g., amyl formate at reflux temp. of the reaction mixt.

IT 61532-33-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prep'n. of)

RN 61532-33-0 CAPTUS

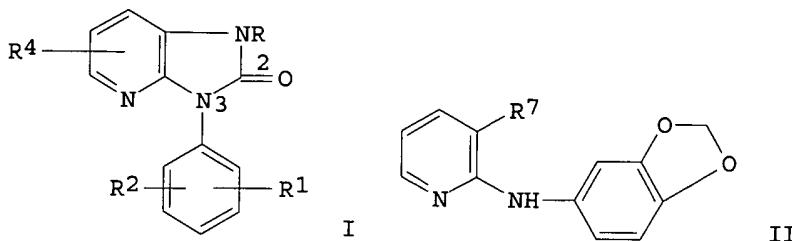
CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1979:405227 CAPLUS  
DOCUMENT NUMBER: 91:5227  
TITLE: Imidazopyridin-2-ones and pharmaceutical compositions  
and methods of treatment  
INVENTOR(S): Clark, Robert L.; Pessolano, Arsenio A.; Shen,  
Tsung-Ying  
PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
SOURCE: U.S., 20 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4144341	A	19790313	US 1977-853975	19771122
RITY APPLN. INFO.:			US 1975-601672	19750528
			US 1976-670798	19760326

GI



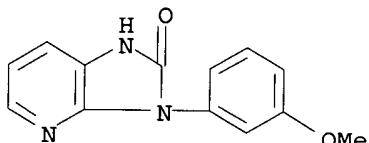
AB Imidazopyridines I [R = H, C2-6 alkenyl, C1-7 alkyl (substituted with C3-6 cycloalkyl, C1-5 alkoxy, or OH), C4-7 cycloalkyl; R1, R2, R4 = H, 5- or 6-F, 5- or 6-Cl, 5- or 6-C1-5 alkoxy carbonylamino; R1R2 = OCR5R6O (R5, R6 = H, alkyl)] were prep'd. by several methods. Also prep'd. were 3-heterocyclyl analogs of I and 2-thiono analogs of I. I and their analogs were analgesics, antipyretics, and antiinflammatory agents (no data). Thus, refluxing 2-chloro-3-nitropyridine with 3,4-(OCH<sub>2</sub>O)C<sub>6</sub>H<sub>3</sub>NH<sub>2</sub> in NaOAc-AcOH 5 h gave nitropyridine II (R<sub>7</sub> = NO<sub>2</sub>) which was hydrogenated over Pd/C in MeOH and the diamine II (R<sub>7</sub> = NH<sub>2</sub>) cyclized with COCl<sub>2</sub> overnight at room temp. to give I (R = R<sub>4</sub> = H, R1R2 = 3,4-OCH<sub>2</sub>O), which was converted into a variety of I (R = alkyl, alkenyl, acyl, R1R2 = OCH<sub>2</sub>O, R<sub>4</sub> = H).

IT 61963-13-1P

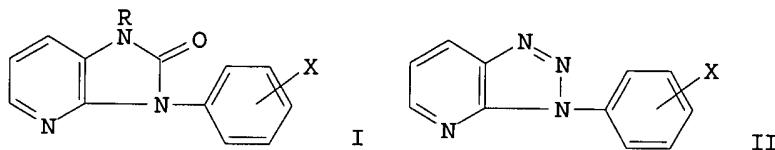
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 61963-13-1 CAPLUS

CN 2H-Imidazo[4,5-b]pyridin-2-one, 1,3-dihydro-3-(3-methoxyphenyl)- (9CI)  
(CA INDEX NAME)



L3 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1979:145541 CAPLUS  
DOCUMENT NUMBER: 90:145541  
TITLE: Synthesis and analgesic activity of  
1,3-dihydro-3-(substituted phenyl)imidazo[4,5-b]pyridin-2-ones and 3-(substituted  
phenyl)-1,2,3-triazolo[4,5-b]pyridines  
AUTHOR (S): Clark, Robert L.; Pessolano, Arsenio A.; Shen,  
Tsung-Ying; Jacobus, David P.; Jones, Howard; Lotti,  
Victor J.; Flataker, Lars M.  
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Rahway, NJ, USA  
SOURCE: Journal of Medicinal Chemistry (1978), 21(9), 965-78  
DOCUMENT TYPE: CODEN: JMCMAR; ISSN: 0022-2623  
LANGUAGE: English  
GI



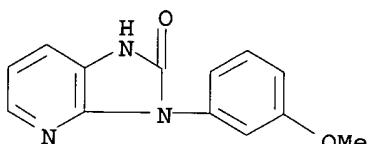
AB One hundred-thirty imidazo[4,5-b]pyridin-2-ones (I, R = H or alkyl, X = H, halo, alkyl, NH<sub>2</sub>, etc.) and 60 triazolo[4,5-b]pyridines (II, X = H, halo, alkyl, alkoxy, NO<sub>2</sub>, etc.) were prep'd., eg by cyclizing 3-nitro-2-anilinopyridines with COCl<sub>2</sub>, urea, or NaNO<sub>2</sub>. I and II increased the pain threshold of both the inflamed and the normal foot in a modified Randall-Selitto test. I (R = H, X = 3,4-OCH<sub>2</sub>O), I (R = allyl, X = 3,4-OCH<sub>2</sub>O), I (R = CHMe<sub>2</sub>, X = 3,4-OCH<sub>2</sub>O), II (X = H) and II (X = F) were the most active compds. The analgesic activity of I was superior to that of codeine or D-propoxyphene, while showing no narcotic characteristics. Some I and II were effective in the carrageenin edema test.

IT 61963-13-1P

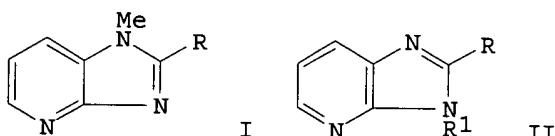
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. and analgesic activity of)

RN 61963-13-1 CAPLUS

CN 2H-Imidazo[4,5-b]pyridin-2-one, 1,3-dihydro-3-(3-methoxyphenyl)- (9CI)  
(CA INDEX NAME)



L3 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1978:73920 CAPLUS  
DOCUMENT NUMBER: 88:73920  
TITLE: Basicity of some derivatives of imidazo[4,5-  
.beta.]pyridine  
AUTHOR(S): Korzhenevskaya, N. G.; Titov, E. V.; Svertilova, I.  
A.; Bystrova, R. M.; Yutilov, Yu. M.  
CORPORATE SOURCE: Inst. Fiz.-Org. Khim. Uglekhim., Donetsk, USSR  
SOURCE: Deposited Doc. (1975), VINITI 3772-75, 6 pp. Avail.:  
VINSTIT  
DOCUMENT TYPE: Report  
LANGUAGE: Russian  
GI



AB The basicities of I ( $R = H, Cl, Me$ ) and II ( $R, R_1 = H, Me; Me, Me; Cl, Me$ ;

US6114358

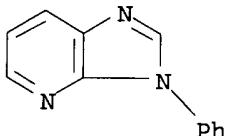
NH<sub>2</sub>, Me, Me<sub>2</sub>N, Me, MeS, Ph, H, PhCH<sub>2</sub>; H, Ph, Cl, Ph) were detd. spectrally and correlated with structure.

IT 61532-33-0

RL: PRP (Properties)  
(basicity of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:106592 CAPLUS

DOCUMENT NUMBER: 86:106592

TITLE: 1,3-Dihydroimidazo[4,5-b]pyridin-2-ones and thiones

INVENTOR(S): Clark, Robert Long; Pessolano, Arsenio A.; Shen, Tsung-Ying

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: Ger. Offen., 83 pp.

CODEN: GWXXBX

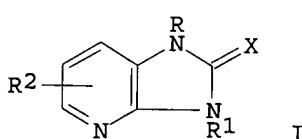
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2623469	A1	19761216	DE 1976-2623469	19760525
DK 7602100	A	19761129	DK 1976-2100	19760512
SE 422799	B	19820329	SE 1976-5399	19760512
SE 422799	C	19820708		
NL 7605131	A	19761130	NL 1976-5131	19760513
AU 7614055	A1	19771124	AU 1976-14055	19760518
AU 510273	B2	19800619		
FR 2312248	A1	19761224	FR 1976-15430	19760521
FR 2312248	B1	19790921		
BE 842255	A1	19761126	BE 1976-167360	19760526
ZA 7603164	A	19770525	ZA 1976-3164	19760526
ES 448280	A1	19780301	ES 1976-448280	19760526
GB 1542940	A	19790328	GB 1976-21886	19760526
HU 20154	O	19810627	HU 1976-ME1980	19760527
HU 177865	P	19820128		
JP 51143696	A2	19761210	JP 1976-61324	19760528
CH 635586	A	19830415	CH 1976-6718	19760528
PRIORITY APPLN. INFO.:			US 1975-601672	19750528
GI				

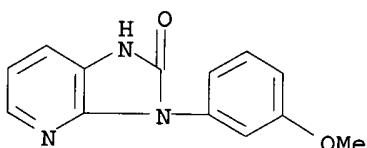


AB Imidazopyridinones and thiones I [R = e.g. H, Pr, H<sub>2</sub>C:CHCH<sub>2</sub>, Me, PhCH<sub>2</sub>; R<sub>1</sub> = e.g. Ph, 2,4-(MeO)C<sub>6</sub>H<sub>3</sub>, 2,4-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>, 3,4-(OCH<sub>2</sub>O)C<sub>6</sub>H<sub>3</sub>, 2-BrC<sub>6</sub>H<sub>4</sub>, 3-FC<sub>6</sub>H<sub>4</sub>, 2-methyl-6-pyridinyl, 1,3-dihydro-5-isobenzofuranyl; R<sub>2</sub> = e.g. H, 6-Me, 6-NO<sub>2</sub>, 6-NH<sub>2</sub>; X = O, S], useful as analgesics, antipyretics and inflammation inhibitors (no data), are prep'd. by reaction of 2-chloro-3-nitropyridine (II) with an aniline, redn. of the resulting 2-anilino-3-nitropyridine to the 3-amino-2-anilinopyridine and cyclocondensation with COCl<sub>2</sub> or CSCl<sub>2</sub>, for example. Thus, reaction of II with 3,4-methylenedioxylaniline gives 2-[3,4-(methylenedioxy)anilino]-3-nitropyridine, which is reduced and cyclocondensed with COCl<sub>2</sub> to give I [R = R<sub>2</sub> = H, R<sub>1</sub> = 3,4-(OCH<sub>2</sub>O)C<sub>6</sub>H<sub>3</sub>, X = O].

IT 61963-13-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 61963-13-1 CAPLUS

CN 2H-Imidazo[4,5-b]pyridin-2-one, 1,3-dihydro-3-(3-methoxyphenyl)- (9CI)  
(CA INDEX NAME)

L3 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:55343 CAPLUS

DOCUMENT NUMBER: 86:55343

TITLE: Direct hydroxylation of N-substituted imidazo[4,5-b]pyridine and imidazo[4,5]pyridine

AUTHOR(S): Yutilov, Yu. M.; Svertilova, I. A.

CORPORATE SOURCE: Inst. Fiz. Org. Khim. Uglekhim., Donetsk, USSR

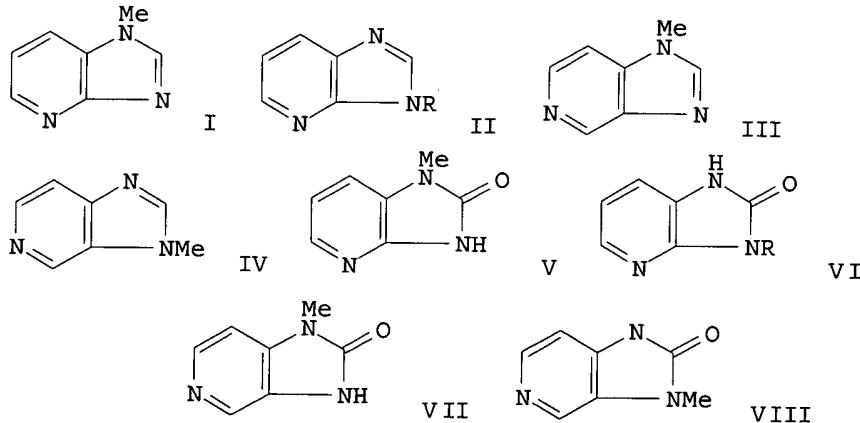
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1976), (9), 1252-4

CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



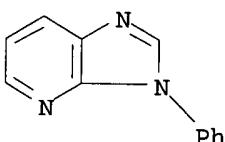
US6114358

AB Hydroxylation of I, II (R = Me, PhCH<sub>2</sub>), III, and IV by heating with KOH at 150-90.degree. gave 27-98% yields of V, VI (R = Me, PhCH<sub>2</sub>), VII, and VIII.  
IT 61532-33-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and hydroxylation of)

RN 61532-33-0 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 3-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1976:164739 CAPLUS

DOCUMENT NUMBER: 84:164739

TITLE: Synthesis and reactions of 2-arylamino-3-nitroquinolines

AUTHOR(S): Schaefer, Harry; Gewald, K.; Seifert, M.

CORPORATE SOURCE: Sekt. Chem., Tech. Univ. Dresden, Dresden, Ger. Dem. Rep.

SOURCE: Journal fuer Praktische Chemie (Leipzig) (1976), 318(1), 39-50

CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE: Journal

LANGUAGE: German

GI For diagram(s), see printed CA Issue.

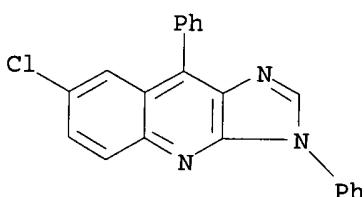
AB The condensation of PhNH(MeS)C:CHNO<sub>2</sub> (I) with acylanilines II (R = Ph, Me, 4-MeC<sub>6</sub>H<sub>4</sub>, HO; R<sub>1</sub> = H, Br, Cl) gave nitroquinolines III. Similarly thiophenecarboxylates IV (n = 4, 5) gave thienopyridines V. The redn. of the 3-NO<sub>2</sub> of III to NH<sub>2</sub> followed by cycloaddn. reactions using NaNO<sub>2</sub>-HCl, HCO<sub>2</sub>H, MeCOCO<sub>2</sub>H, AcCH<sub>2</sub>CO<sub>2</sub>Et, and MeCOCOMe gave VI-X (R = Me, Ph; R<sub>1</sub> = H, Cl).

IT 59163-27-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 59163-27-8 CAPLUS

CN 3H-Imidazo[4,5-b]quinoline, 7-chloro-3,9-diphenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1975:4251 CAPLUS

DOCUMENT NUMBER: 82:4251

TITLE: Imidazo[4,5-b]pyridines

INVENTOR(S): Kutter, Eberhard; Austel, Volkhard; Diederer, Willi

PATENT ASSIGNEE(S): Thomae, Dr. Karl., G.m.b.H.

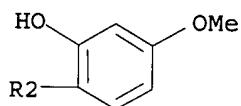
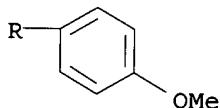
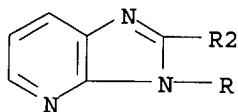
SOURCE: Ger. Offen., 62 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2305339	A1	19740808	DE 1973-2305339	19730203
DE 2305339	B2	19790823		
DE 2305339	C3	19800508		
FI 58126	B	19800829	FI 1973-3989	19731227
FI 58126	C	19801210		
AT 7400164	A	19760215	AT 1974-164	19740110
AT 332873	B	19761025		
ES 422450	A1	19760501	ES 1974-422450	19740119
CS 200169	P	19800829	CS 1974-443	19740123
SU 563917	D	19770630	SU 1974-1990335	19740129
NL 7401254	A	19740806	NL 1974-1254	19740130
NL 173645	B	19830916		
NL 173645	C	19840216		
CH 605939	A	19781013	CH 1974-1363	19740131
RO 79057	P	19820625	RO 1974-77478	19740131
RO 84276	P	19840523	RO 1974-106042	19740131
BE 810545	A1	19740801	BE 1974-140502	19740201
FR 2215968	A1	19740830	FR 1974-3491	19740201
JP 49102693	A2	19740927	JP 1974-13568	19740201
JP 57048556	B4	19821016		
DD 108989	C	19741012	DD 1974-176324	19740201
AU 7465129	A1	19750807	AU 1974-65129	19740201
GB 1445824	A	19760811	GB 1974-4808	19740201
HU 170909	P	19770928	HU 1974-TO951	19740201
CA 1041502	A1	19781031	CA 1974-191585	19740201
NO 139386	C	19790228	NO 1974-327	19740201
NO 139386	B	19781120		
DK 140760	B	19791112	DK 1974-563	19740201
DK 140760	C	19800421		
SE 411451	B	19791227	SE 1974-1393	19740201
SE 411451	C	19800417		
PL 93127	P	19770530	PL 1974-168533	19740202
ZA 7400695	A	19751029	ZA 1974-695	19740204
US 3985891	A	19761012	US 1975-606886	19750822
SU 634673	D	19781125	SU 1975-2170503	19750827
PRIORITY APPLN. INFO.:			DE 1973-2305339	19730203
			DE 1973-2361757	19731212
			US 1974-439362	19740204

GI For diagram(s), see printed CA Issue.  
 AB Imidazopyridines (100 compds.) including the pos. inotropic I (R = OMe, R1 = 4-OMe, 4-Cl, 4-Me, 4-SMe, 4-SOMe, 5-SMe; R = OEt, R1 = 4-OMe, 4-Me; R = OCH2CH2SOMe, R1 = 4-OMe, 4-SMe) and some 3-alkyl and pyridine ring-substituted compds. were prep'd. Thus, 2,3-pyridinediamine was cyclized with 2,4-(MeO)2C6H3CO to give 85% I (R = OMe, R1 = 4-OMe) which at 1 times. 10-<sup>11</sup> nM increased the contraction amplitude of isolated guinea rium by 57%.  
 IT 53930-82-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prep'n. of)  
 RN 53930-82-8 CAPLUS  
 CN Phenol, 5-methoxy-2-[3-(4-methoxyphenyl)-3H-imidazo[4,5-b]pyridin-2-yl]-(9CI) (CA INDEX NAME)



L3 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1961:22770 CAPLUS  
 DOCUMENT NUMBER: 55:22770  
 ORIGINAL REFERENCE NO.: 55:4507f-i,4508a-b  
 TITLE: Antispasmodic compounds  
 AUTHOR(S): Sabata, B. K.; Tripathy, P. B.; Rout, M. K.  
 CORPORATE SOURCE: Ravenshaw College, Cuttack  
 SOURCE: J. Proc. Inst. Chemists (India) (1960), 32, 147-50  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 GI For diagram(s), see printed CA Issue.  
 AB Compds. prep'd. by the method of Pujari and R. (CA 50,295a) were:  
 3-(4-ethoxyphenyl)-2-thiohydantoin (I), m. 122-3.degree., yield 80%;  
 3-(2-ethoxyphenyl)-2-thiohydantoin, m. 132.degree., yield 78%;  
 3-(4-methoxyphenyl)-2-thiohydantoin, m. 208.degree., yield 75%;  
 3-(4-bromophenyl)-2-thiohydantoin, m. 238.degree., yield 75%;  
 3-(1-naphthyl)-2-thiohydantoin, m. 176.degree., yield 78%.  
 3-(4-Ethoxyphenyl)-5-(2-nitrobenzylidene)-2-thiohydantoin (II), prep'd. in  
 70% yield by refluxing 2.5 hrs. a soln. of 0.6 g. 2-nitrobenzaldehyde, 1  
 g. I, and 1.3 g. fused NaOAc in 15 ml. glacial HOAc, m. 165.degree.  
 (alc.). Compds. prep'd. similarly were: 3-(2-ethoxyphenyl)-5-(2-  
 nitrobenzylidene)-2-thiohydantoin, m. 123.degree., yield 72%;  
 3-(4-methoxyphenyl)-5-(2-nitrobenzylidene)-2-thiohydantoin, m.  
 205.degree., yield 70%; 3-(4-bromophenyl)-5-(2-nitrobenzylidene)-2-  
 thiohydantoin, m. 191-2.degree., yield 70%; and 3-(1-naphthyl)-5-(2-  
 nitrobenzylidene)-2-thiohydantoin, m. 203.degree., yield 65%. III (R =  
 p-EtOC6H4, R' = H), m. 203.degree. (decompn.), was prep'd. in 65% yield by  
 refluxing 1.5 g. II in 20 ml. glacial HOAc with Zn dust until the mixt.  
 was nearly colorless. Other III prep'd. similarly were (R, R', m.p., and %  
 yield given): o-EtOC6H4, H, 159.degree., 68; p-MeOC6H4, H, 250.degree.,  
 60; p-BrC6H4, H, 162.degree., 60; and 1-C10H7, H, 194.degree., 65. III (R =  
 p-EtOC6H4, R' = OH), m. 128-9.degree. (alc.), was prep'd. in 60% yield by  
 fusing 2 g. I and 1.3 g. anthranilic acid (IV) at 150-60.degree., adding  
 1.23 g. finely powd. anhyd. NaOAc during 1 hr., and heating 4-5 hrs. The  
 cooled mass was treated with NaHCO3 soln. to remove unreacted IV and the  
 residue dissolved in alkali. The filtrate, on acidification gave the  
 product. III prep'd. similarly were (R, R', m.p., and % yield given):  
 o-MeOC6H4, OH, 141-2.degree., 65; p-MeOC6H4, OH, 143.degree., 58;  
 p-BrC6H4, OH, 224.degree., 59; and 1-C10H7, OH, 108.degree., 60.  
 Quinolino[2',3':4,5]thiazolidin-2-one, m. 247.degree., was prep'd. in 68%  
 yield by reducing a soln. of 5-(2-nitrobenzylidene)-2,4-thiazolidinedione  
 [prep'd. by condensing 2,4-thiazolidinedione (V) with 2-nitrobenzaldehyde]

US6114358

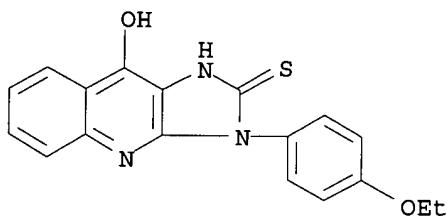
with Zn dust. 4'-Hydroxyquinolino[2',3':4,5]thiazolidin-2-one, m. 129.degree., was prep'd. in 60% yield by fusing V with 1.2 moles IV in the presence of fused NaOAc.

IT 113013-54-0, 2H-Imidazo[4,5-b]quinoline-2-thione,  
3-[p-ethoxyphenyl]-1,3-dihydro-9-hydroxy- 131409-17-1,  
2H-Imidazo[4,5-b]quinoline-2-thione, 3-(p-bromophenyl)-1,3-dihydro-9-hydroxy-

(prepn. of)

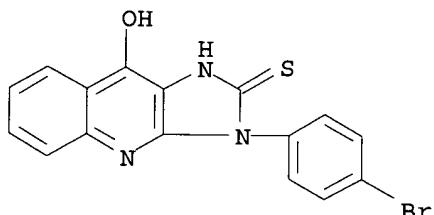
RN 113013-54-0 CAPLUS

CN 2H-Imidazo[4,5-b]quinoline-2-thione, 3-(p-ethoxyphenyl)-1,3-dihydro-9-hydroxy- (6CI) (CA INDEX NAME)



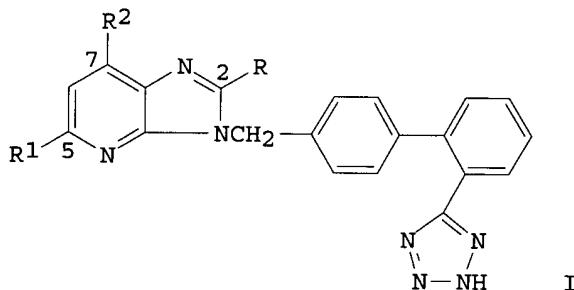
RN 131409-17-1 CAPLUS

CN 2H-Imidazo[4,5-b]quinoline-2-thione, 3-(p-bromophenyl)-1,3-dihydro-9-hydroxy- (6CI) (CA INDEX NAME)



US6114358

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1991:535998 CAPLUS  
DOCUMENT NUMBER: 115:135998  
TITLE: Potent, orally active imidazo[4,5-b]pyridine-based angiotensin II receptor antagonists  
AUTHOR(S): Mantlo, Nathan B.; Chakravarty, Prasun K.; Ondeyka, Debra L.; Siegl, Peter K. S.; Chang, Raymond S.; Lotti, Victor J.; Faust, Kristie A.; Schorn, Terry W.; Chen, Tsing Bau; et al.  
CORPORATE SOURCE: Explor. Chem., Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA  
SOURCE: Journal of Medicinal Chemistry (1991), 34(9), 2919-22  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



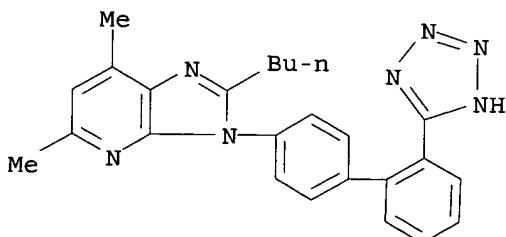
AB Several title Angiotensin II (AII) antagonists I (R = Et, Pr, Bu, R1 = R2 = H, Me; R1 = Me, R2 = H; R1 = H, R2 = Me) were prepd. Substituents at the 2, 5, and 7-positions of the imidazopyridine have a profound effect on the in vitro binding affinity to AII receptors (rabbit aorta membrane prepn.) and on the inhibition of the AII-induced pressor responses in conscious rats. The most active compd., I (R = Et, R1 = R2 = Me) is extremely potent in vitro ( $IC_{50} = 0.3$  nM, rabbit aorta), and in vivo ( $ED_{50} = 0.048$  mg/Kg i.v. and 0.026 mg/Kg p.o., conscious rat). This compd. is a specific AT1 antagonist, and substantially lowers the blood pressure of high renin hypertensive rats upon oral dosing (0.1 and 0.3 mg/Kg) with a duration of action exceeding 24 h.

IT 135145-94-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and Angiotensin II antagonist activity of)

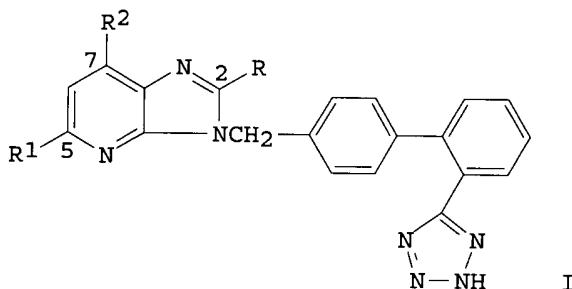
RN 135145-94-7 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 2-butyl-5,7-dimethyl-3-[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



US6114358

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1991:535998 CAPLUS  
DOCUMENT NUMBER: 115:135998  
TITLE: Potent, orally active imidazo[4,5-b]pyridine-based angiotensin II receptor antagonists  
AUTHOR(S): Mantlo, Nathan B.; Chakravarty, Prasun K.; Ondeyka, Debra L.; Siegl, Peter K. S.; Chang, Raymond S.; Lotti, Victor J.; Faust, Kristie A.; Schorn, Terry W.; Chen, Tsing Bau; et al.  
CORPORATE SOURCE: Explor. Chem., Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA  
SOURCE: Journal of Medicinal Chemistry (1991), 34(9), 2919-22  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB Several title Angiotensin II (AII) antagonists I ( $R = Et, Pr, Bu, R1 = R2 = H, Me; R1 = Me, R2 = H; R1 = H, R2 = Me$ ) were prep'd. Substituents at the 2, 5, and 7-positions of the imidazopyridine have a profound effect on the in vitro binding affinity to AII receptors (rabbit aorta membrane prep'n.) and on the inhibition of the AII-induced pressor responses in conscious rats. The most active compd., I ( $R = Et, R1 = R2 = Me$ ) is extremely potent in vitro ( $IC50 = 0.3$  nM, rabbit aorta), and in vivo ( $ED50 = 0.048$  mg/Kg i.v. and  $0.026$  mg/Kg p.o., conscious rat). This compd. is a specific AT1 antagonist, and substantially lowers the blood pressure of high renin hypertensive rats upon oral dosing (0.1 and 0.3 mg/Kg) with a duration of action exceeding 24 h.

IT 135145-94-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prep'n. and Angiotensin II antagonist activity of)

RN 135145-94-7 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 2-butyl-5,7-dimethyl-3-[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

